

chain nodes :  
 7 8 9 10 11 12 13 14 17 18 19 20 21 22 23 24 25 26 41 42  
 ring nodes :  
 1 2 3 4 5 6  
 chain bonds :  
 1-9 4-8 5-41 7-10 7-12 7-14 8-10 10-11 12-13 14-17 19-20 21-22 22-25 23-24 23-26  
 41-42  
 ring bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6  
 exact/norm bonds :  
 1-2 1-6 1-9 2-3 3-4 4-5 5-6 5-41 7-10 7-12 10-11 12-13 14-17 23-26 41-42  
 exact bonds :  
 4-8 7-14 8-10 19-20 21-22 22-25 23-24

G1:[\*1-\*2],[\*3-\*4],[\*5-\*6],[\*7-\*8]

Match level :  
 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS  
 12:Atom 13:Atom 14:CLASS 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS  
 24:CLASS 25:CLASS 26:CLASS 41:CLASS 42:Atom

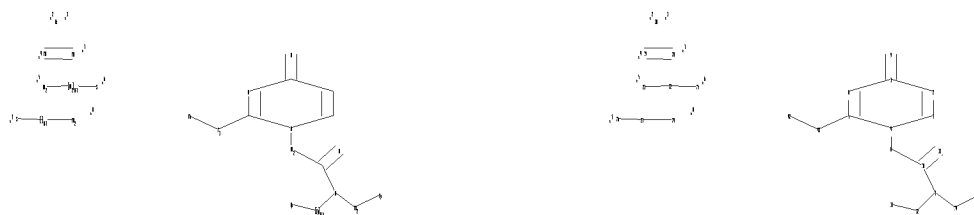
Generic attributes :  
 18:  
 Saturation : Saturated  
 Number of Carbon Atoms : less than 7  
 42:  
 Saturation : Unsaturated

Element Count :  
 Node 18: Limited  
 C,C1-5

10/510,467

=>

Uploading C:\Program Files\Stnexp\Queries\10510467.str



chain nodes :

7 8 9 10 11 12 13 14 17 18 19 20 21 22 23 24 25 26 41 42

ring nodes :

1 2 3 4 5 6

chain bonds :

1-9 4-8 5-41 7-10 7-12 7-14 8-10 10-11 12-13 14-17 19-20 21-22 22-25  
23-24 23-26 41-42

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

1-2 1-6 1-9 2-3 3-4 4-5 5-6 5-41 7-10 7-12 10-11 12-13 14-17 23-26  
41-42

exact bonds :

4-8 7-14 8-10 19-20 21-22 22-25 23-24

G1:[\*1-\*2],[\*3-\*4],[\*5-\*6],[\*7-\*8]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS  
11:CLASS 12:Atom 13:Atom 14:CLASS 17:Atom 18:CLASS 19:CLASS 20:CLASS  
21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 41:CLASS 42:Atom

Generic attributes :

18:

Saturation : Saturated

Number of Carbon Atoms : less than 7

42:

Saturation : Unsaturated

Element Count :

Node 18: Limited

C,C1-5

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 18:46:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1195 TO ITERATE

100.0% PROCESSED 1195 ITERATIONS

4 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 21827 TO 25973

PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> => s l1 sss ful

FULL SEARCH INITIATED 18:46:48 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 24300 TO ITERATE

100.0% PROCESSED 24300 ITERATIONS

64 ANSWERS

SEARCH TIME: 00.00.01

10/510,467

L3                    64 SEA SSS FUL L1

=> => s 13

L4                    7 L3

=> d 14 1-7 bib,ab,hitstr

L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:526686 CAPLUS  
 DN 148:495977  
 TI Preparation of (oxopyridopyrimidinyl)piperidinylacetamides as lipoprotein associated phospholipase A2 (Lp-PLA2) inhibitors for treating atherosclerosis and other inflammatory diseases  
 IN Leach, Colin Andrew  
 PA USA  
 SO U.S. Pat. Appl. Publ., 25pp., Cont.-in-part of U.S. Ser. No. 626,875.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

common inventor

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20080103156	A1	20080501	US 2007-871178	20071012
	US 20080090851	A1	20080417	US 2007-626875	20070125
	US 20080090852	A1	20080417	US 2007-626879	20070125
PRAI	US 2006-829327P	P	20061013		
	US 2007-626875	A2	20070125		
	US 2007-626879	A2	20070125		

OS MARPAT 148:495977

AB Title compds., e.g. I (R1 = CF3, CF3O; R2, R3 = H, F; R4 = ester, amide residue) and their salts were prepared via multistep synthesis and tested for their Lipoprotein Associated Phospholipase A2 (Lp-PLA2) inhibitory activity. Thus, I (R1 = CF3; R2 = F; R3 = H; R4 = MeO2C) was prepared via coupling of [2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetic acid (preparation given) with Me 2-methyl-2-[4-[[[4'-(trifluoromethyl)-4-biphenyl]methyl]amino]-1-piperidinyl]propanoate (preparation given) using diisopropylethylamine and HATU in DMF. I were found to inhibit Lp-PLA2 with IC50 values in the range 0.1 to 10 nM. These compds. or their salts are useful for preventing or treating atherosclerosis, diabetes, rheumatoid arthritis, stroke, myocardial infarction, reperfusion injury, or acute and chronic inflammation.

IT 1018816-11-9P 1018816-13-1P 1018816-15-3P  
 1018816-17-5P 1018816-19-7P 1018816-21-1P  
 1018816-23-3P 1018816-25-5P 1018816-27-7P  
 1018816-29-9P 1018816-31-3P 1018816-33-5P  
 1018816-34-6P

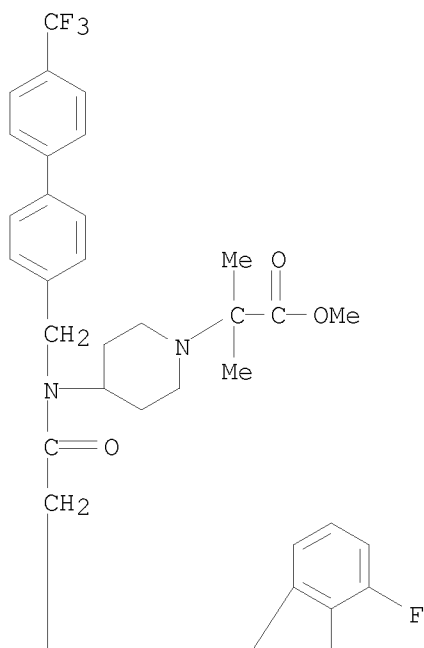
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of (oxopyridopyrimidinyl)piperidinylacetamides as Lp-PLA2 inhibitors for treating atherosclerosis and other inflammatory diseases)

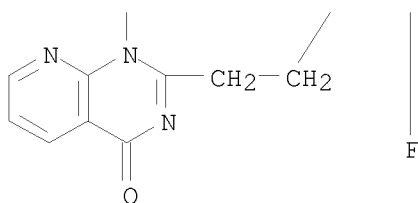
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CN 1-Piperidineacetic acid, 4-[[[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, methyl ester (CA INDEX NAME)

PAGE 1-A

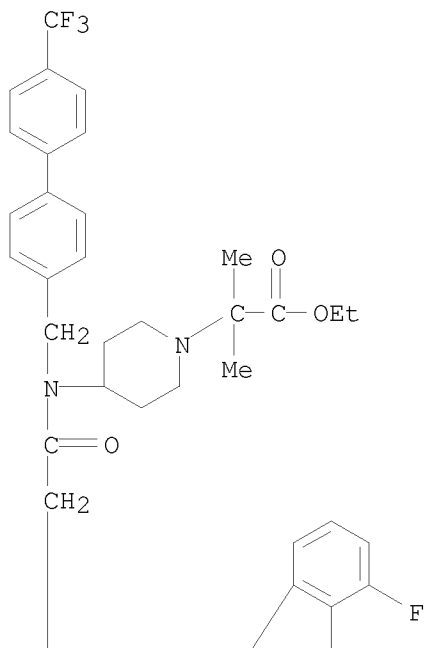


PAGE 2-A

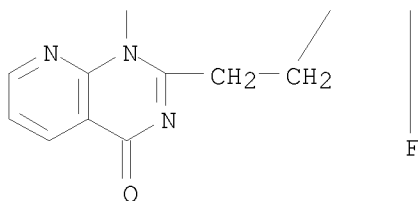


RN 1018816-13-1 CAPLUS  
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PAGE 1-A

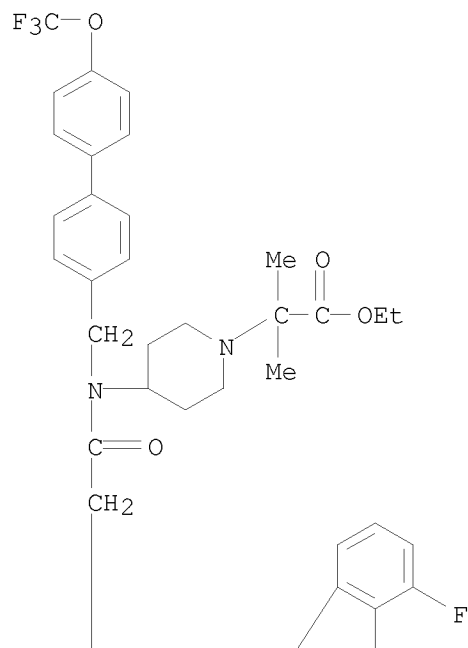


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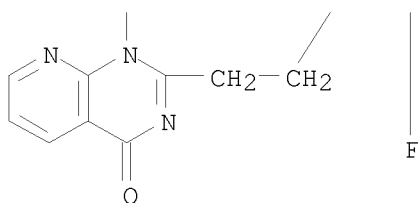


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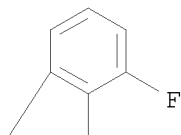
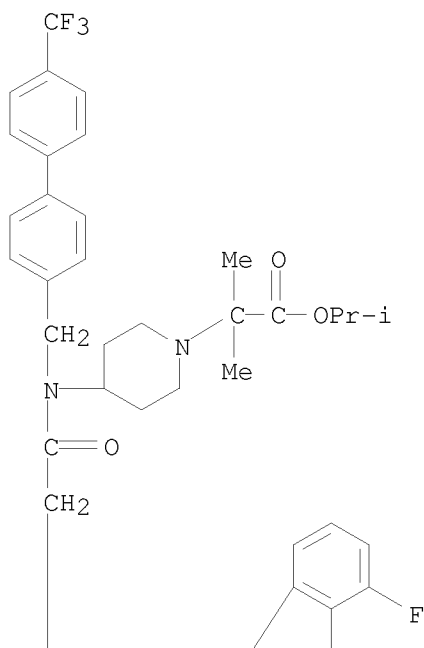
PAGE 2-A



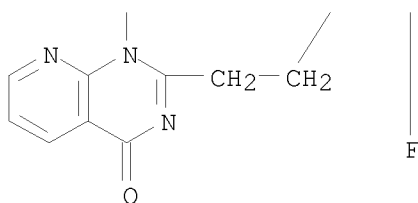
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 CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 1-methylethyl ester (CA INDEX NAME)



PAGE 1-A

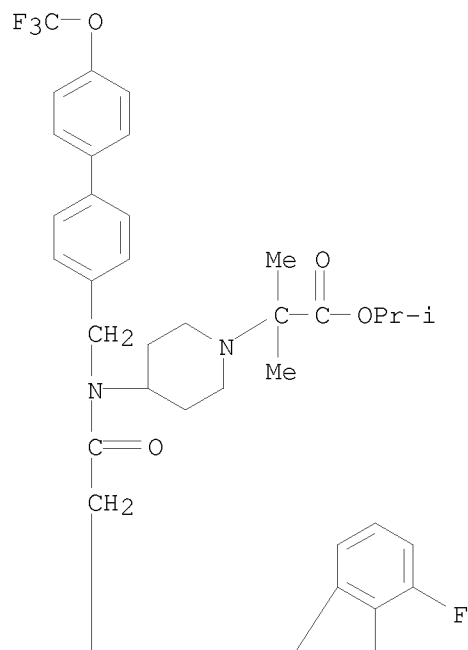


PAGE 2-A

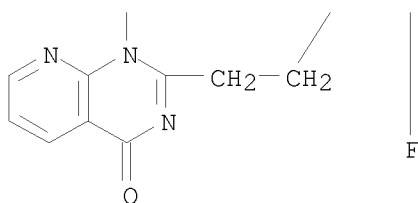


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 CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 1-methylethyl ester (CA INDEX NAME)

PAGE 1-A

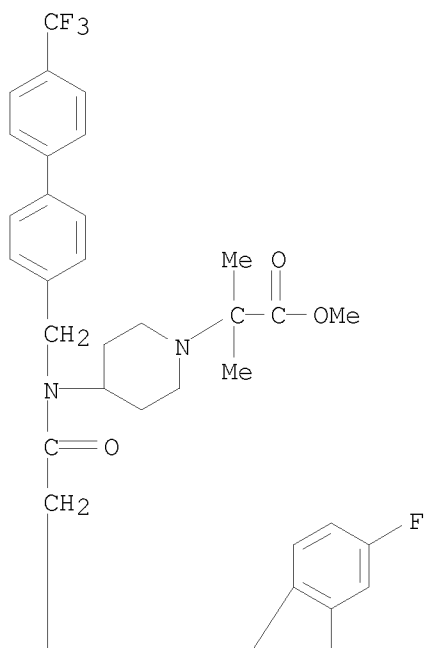


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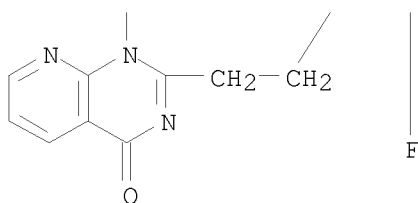


RN 1018816-21-1 CAPLUS  
 CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,4-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, methyl ester (CA INDEX NAME)

PAGE 1-A

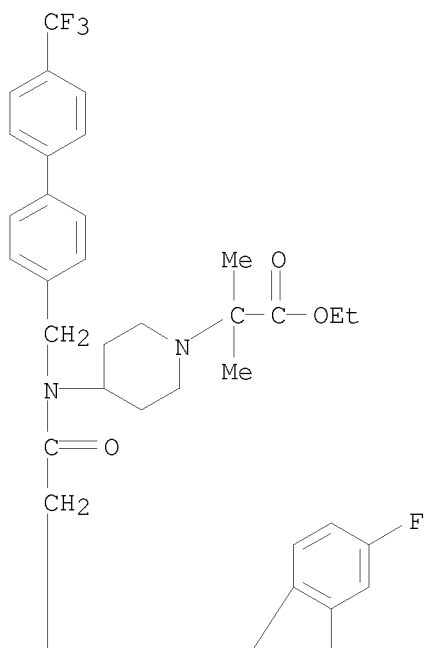


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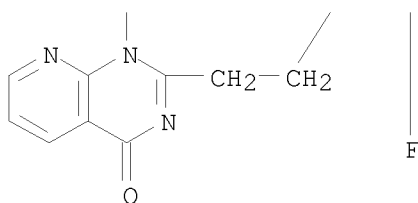


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PAGE 1-A

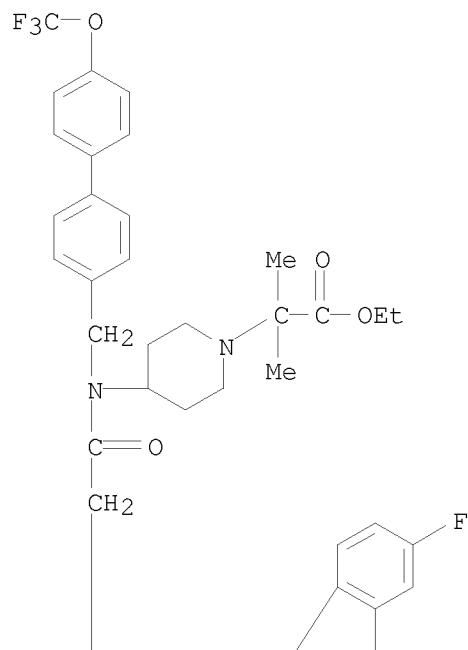


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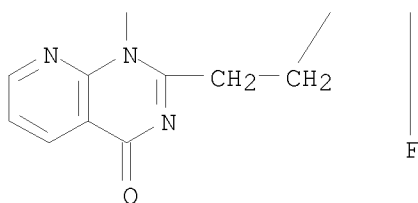


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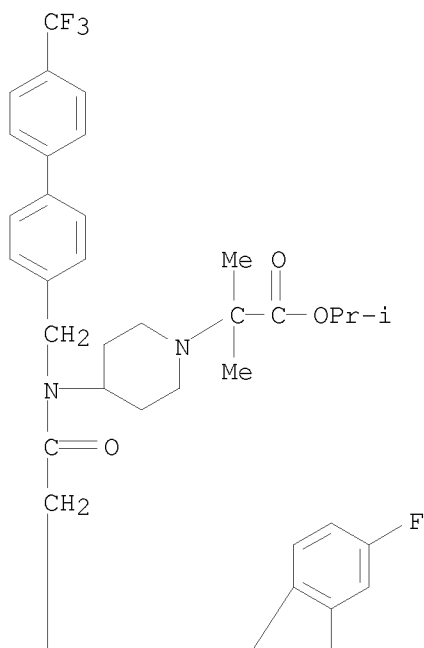


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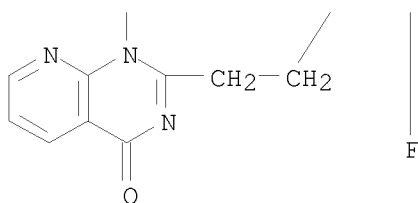


RN 1018816-27-7 CAPLUS  
 CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,4-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 1-methylethyl ester (CA INDEX NAME)

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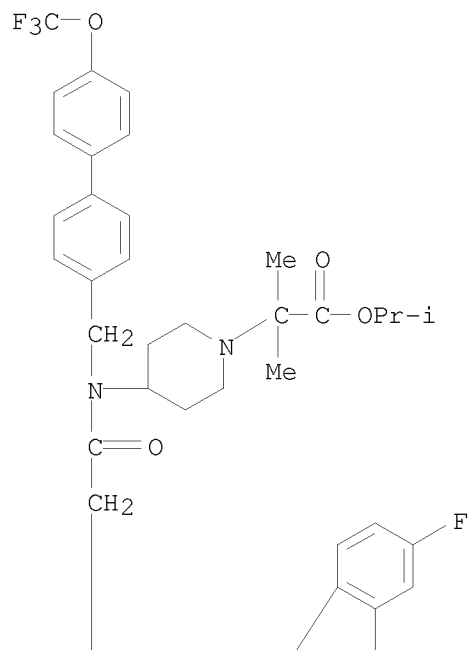


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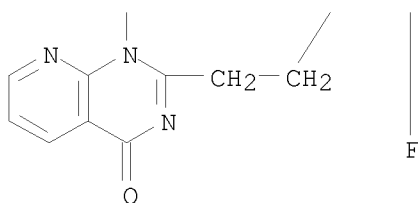


RN 1018816-29-9 CAPLUS  
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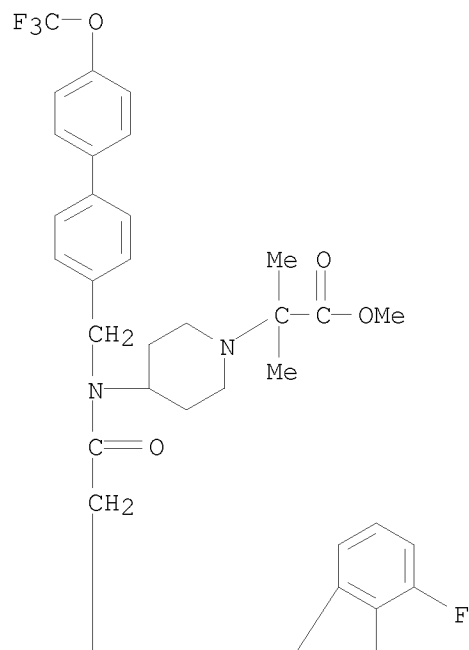


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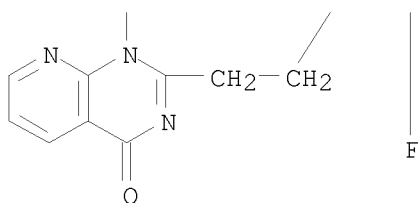


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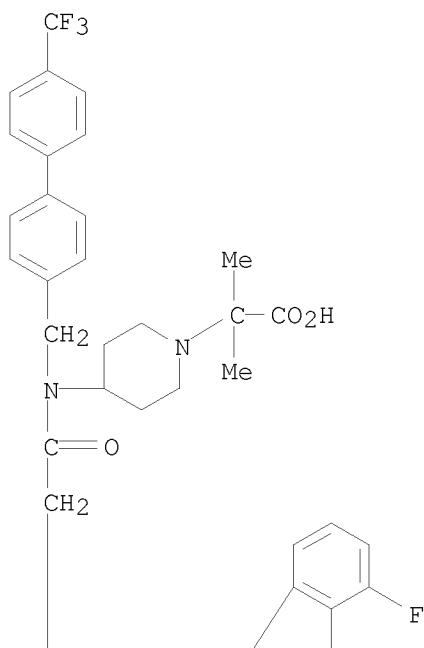
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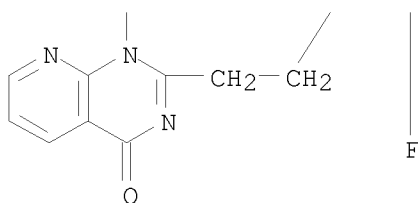
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 CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl- (CA INDEX NAME)



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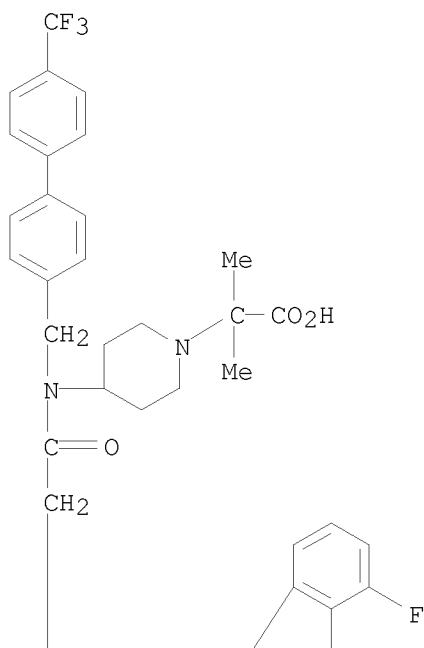


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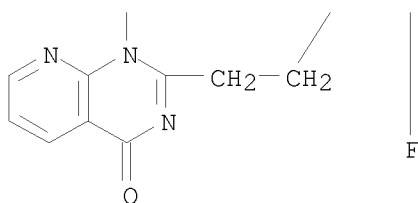
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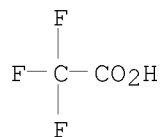


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CRN 76-05-1  
CMF C2 H F3 O2



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1018816-18-6P 1018816-20-0P 1018816-22-2P  
1018816-24-4P 1018816-26-6P 1018816-28-8P

1018816-30-2P 1018816-32-4P 1021494-20-1P

1021494-21-2P 1021494-22-3P 1021494-23-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of (oxypyridopyrimidinyl)piperidinylacetamides as Lp-PLA2  
inhibitors for treating atherosclerosis and other inflammatory  
diseases)

RN 1018816-12-0 CAPLUS

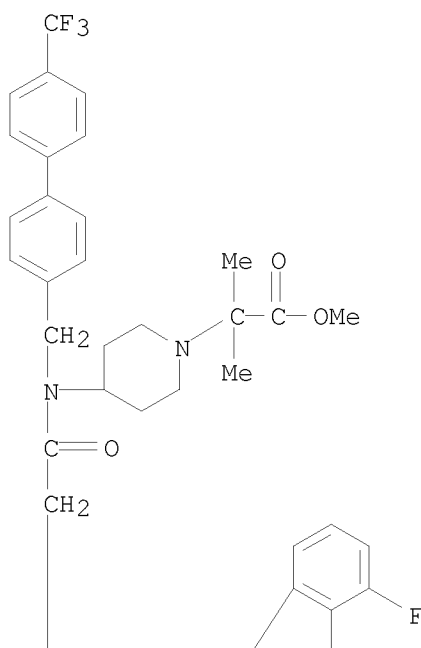
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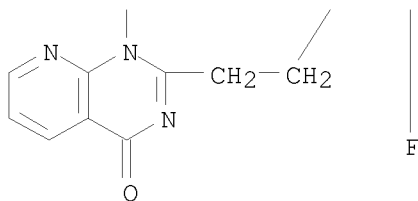
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CMF C41 H40 F5 N5 O4

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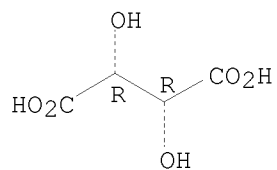
10/510,467

CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1018816-14-2 CAPLUS

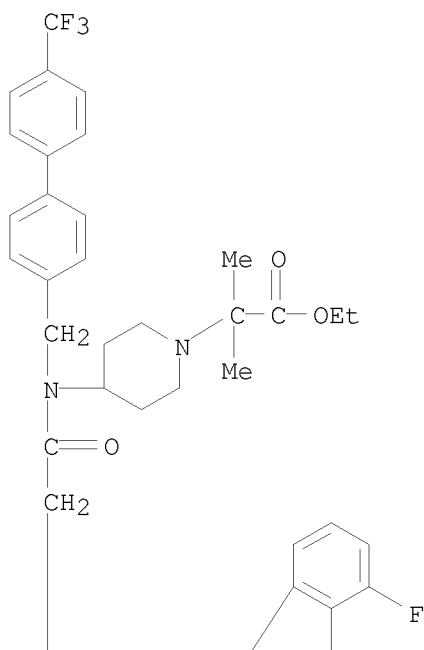
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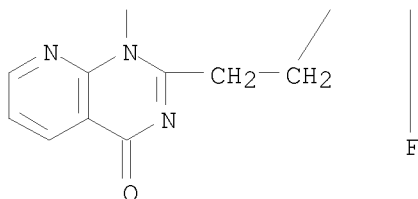
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CMF C42 H42 F5 N5 O4

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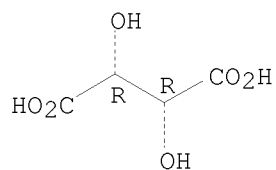


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1018816-16-4 CAPLUS

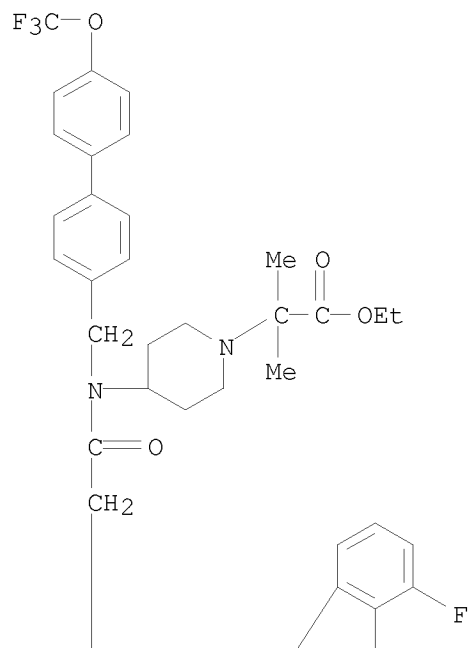
CN INDEX NAME NOT YET ASSIGNED

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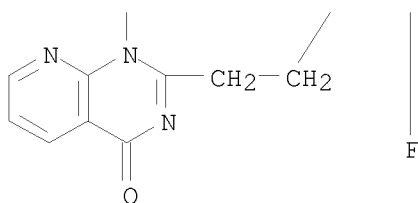
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PAGE 1-A



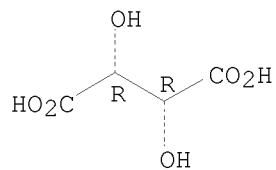
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



RN 1018816-18-6 CAPLUS

10/510,467

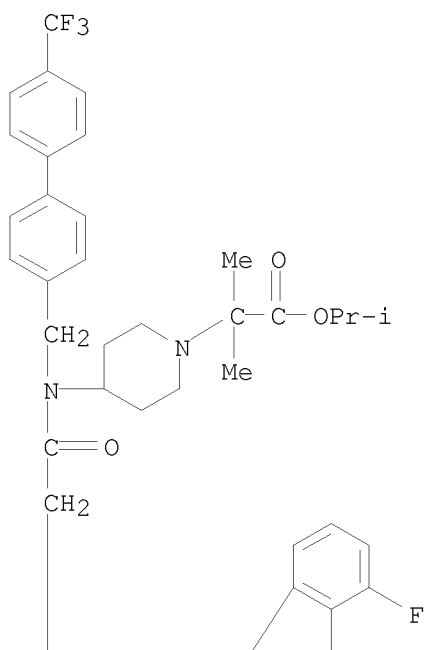
CN INDEX NAME NOT YET ASSIGNED

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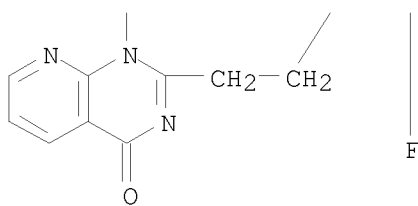
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CMF C43 H44 F5 N5 O4

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PAGE 2-A

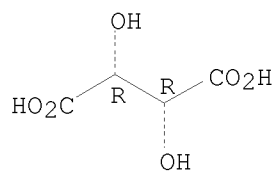


CM 2

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CMF C4 H6 O6

Absolute stereochemistry.

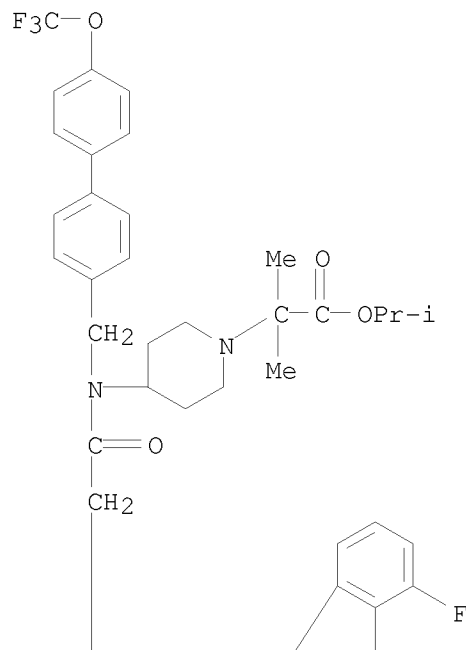


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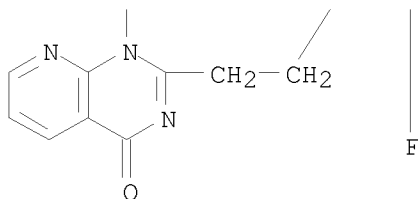
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PAGE 2-A

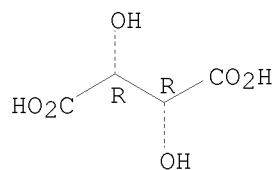




CM 2

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Absolute stereochemistry.

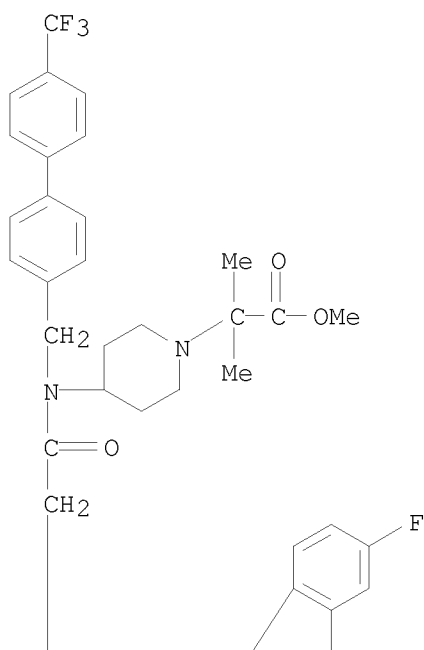


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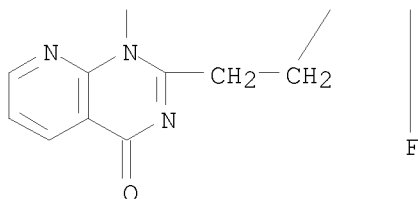
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 CMF C41 H40 F5 N5 O4

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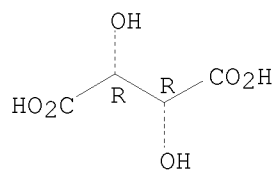


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1018816-24-4 CAPLUS

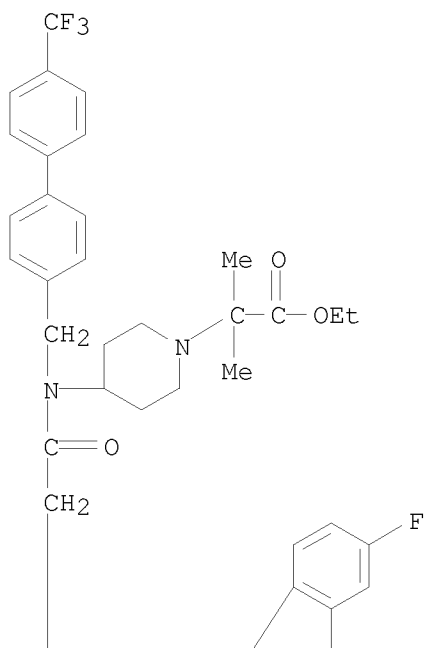
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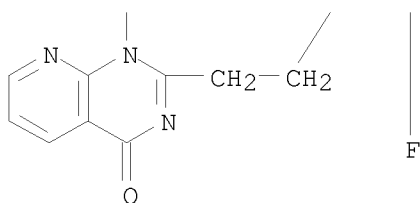
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CMF C42 H42 F5 N5 O4

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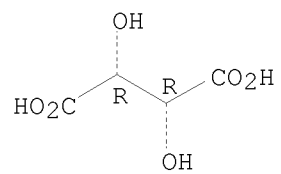
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



RN 1018816-26-6 CAPLUS

10/510,467

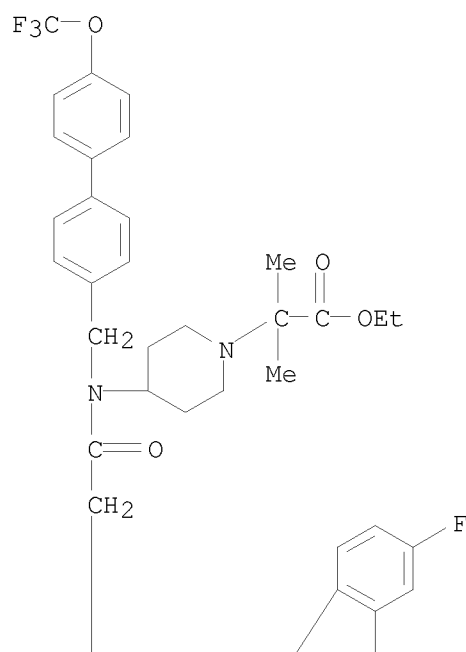
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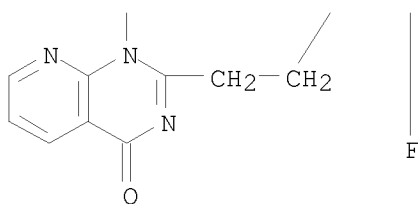
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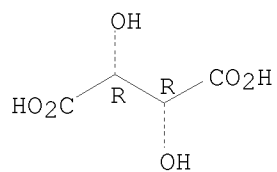
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CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

10/510,467

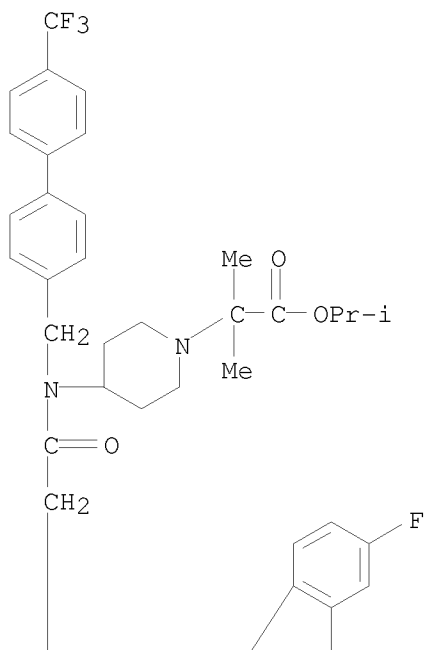


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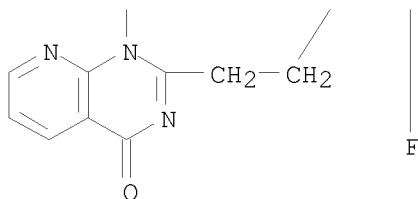
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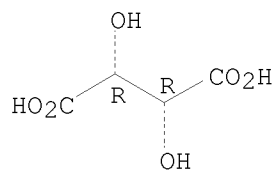
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.

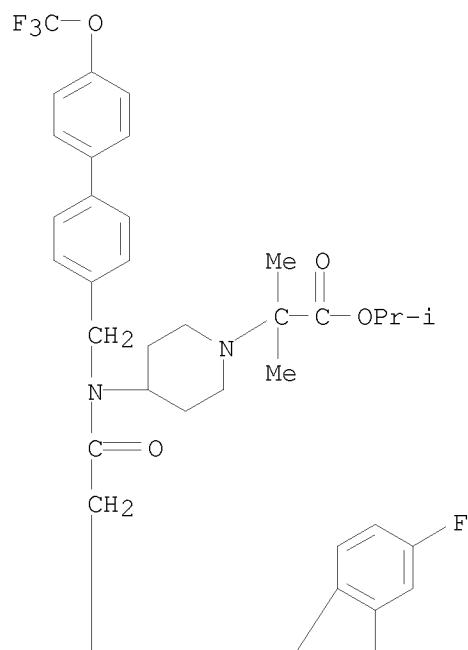


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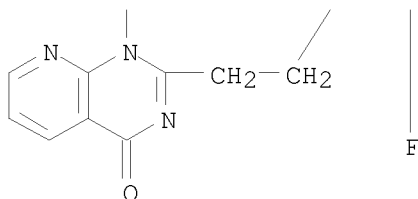
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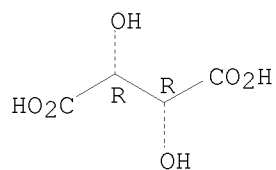


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1018816-32-4 CAPLUS

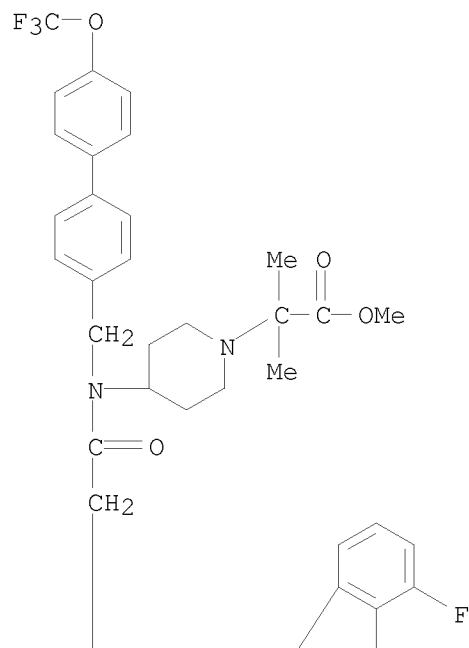
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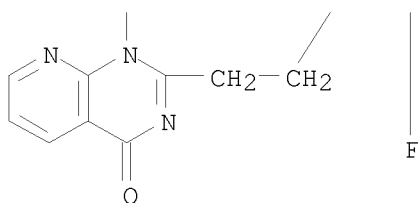
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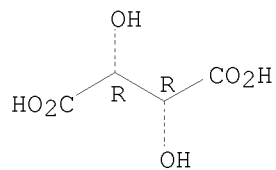
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.

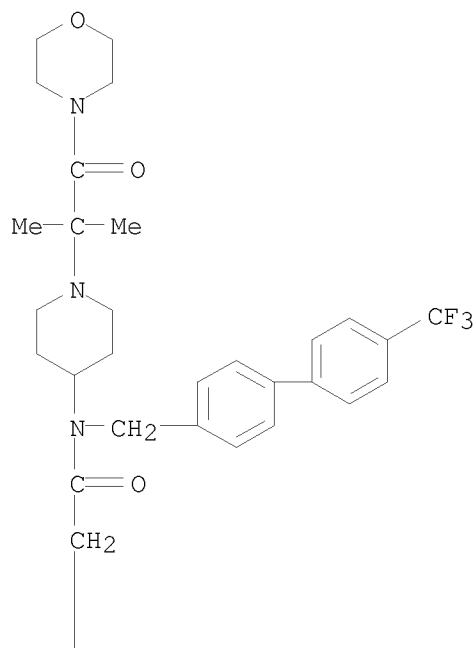


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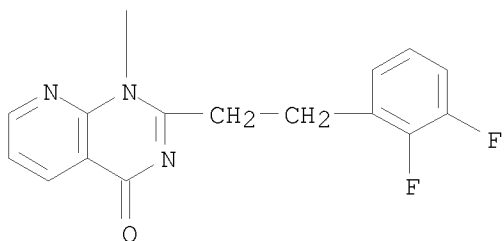


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RN 1021494-21-2 CAPLUS

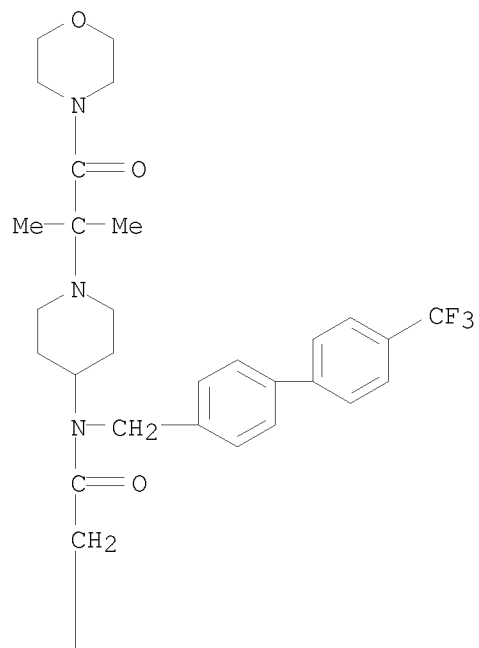
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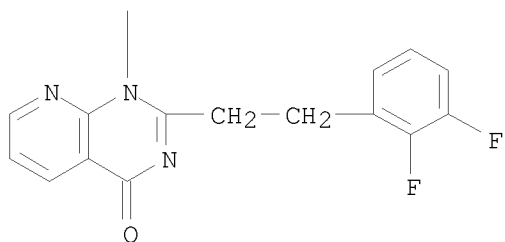
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CMF C44 H45 F5 N6 O4

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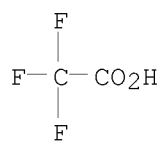
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CM 2

CRN 76-05-1

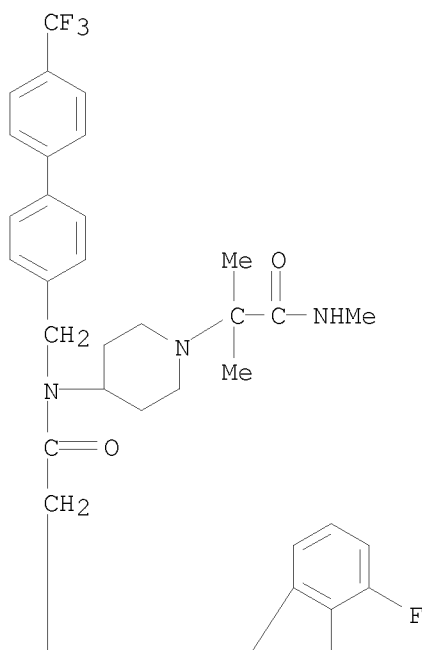
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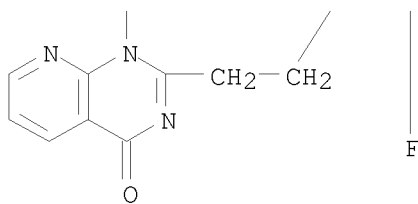
RN 1021494-22-3 CAPLUS

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RN 1021494-23-4 CAPLUS

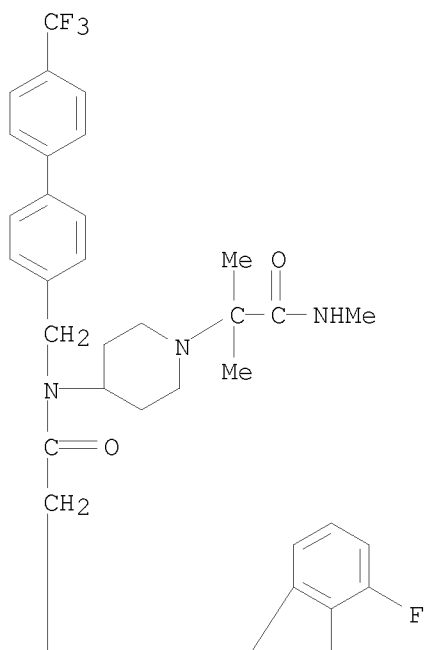
CN Pyrido[2,3-d]pyrimidine-1(4H)-acetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-[1-[1,1-dimethyl-2-(methylamino)-2-oxoethyl]-4-piperidiny]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

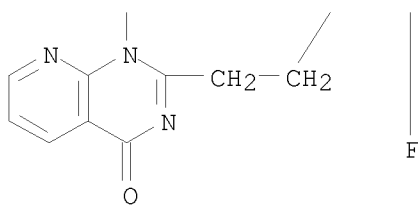
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CMF C41 H41 F5 N6 O3

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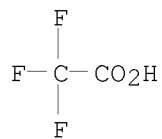


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CM 2

CRN 76-05-1  
CMF C2 H F3 O2



L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:475467 CAPLUS  
 DN 148:449657  
 TI Preparation of oxopyrido[2,3-d]pyrimidines as Lp-PLA2 inhibitors for  
 treating atherosclerosis or other inflammatory diseases  
 IN Leach, Colin Andrew  
 PA USA  
 SO U.S. Pat. Appl. Publ., 19pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English common inventor  
 FAN.CNT 2

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	US 2007-626875	A	20070125		
	US 2007-626879	A	20070125		

OS MARPAT 148:449657

AB Compsds. of formula I (wherein R1 is (un)substituted aryl group; R2 is C1-6 alkyl, C1-6 alkoxy, etc.; Y is C2-4alkyl; n is 0-5; R3 is C1-4 alkyl; R4 is C1-4 alkyl; or R3 and R4 are combined to form a ring; R5 is C1-10 alkyl, C2-10 alkenyl, etc.) or pharmaceutically acceptable salts thereof are claimed. These compds. have Lp-PLA2 (lipoprotein associated phospholipase A2) inhibitory activity with IC50 values in the range of 0.1-10 nM and are useful for treating atherosclerosis and other inflammatory diseases. Synthetic procedures for preparing I are exemplified. Example compound II was prepared by reacting [2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetic acid (preparation given) and Me 2-methyl-2-[4-[[[4'-(trifluoromethyl)-4-biphenyl]methyl]amino]-1-piperidinyl]propanoate (preparation given).

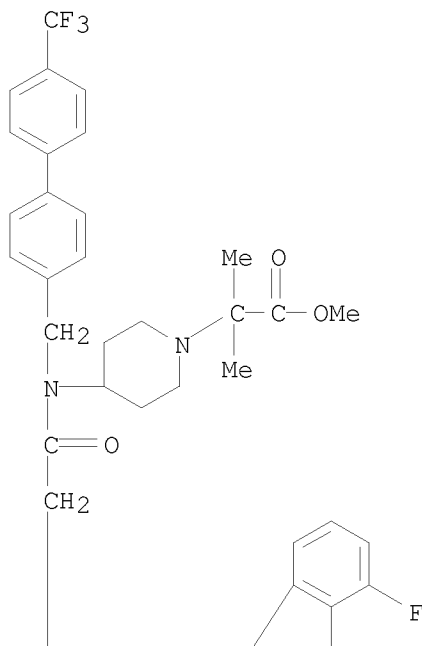
IT 1018816-11-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of oxopyrido[2,3-d]pyrimidines as Lp-PLA2 inhibitors for treating atherosclerosis or other inflammatory diseases)

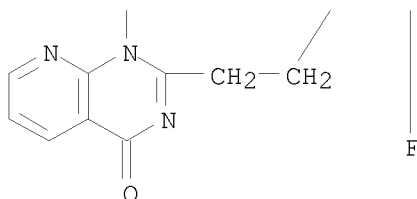
RN 1018816-11-9 CAPLUS

CN 1-Piperidineacetic acid, 4-[[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, methyl ester (CA INDEX NAME)

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IT 1018816-12-0P 1018816-13-1P 1018816-14-2P  
 1018816-15-3P 1018816-16-4P 1018816-17-5P  
 1018816-18-6P 1018816-19-7P 1018816-20-0P  
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 1018816-24-4P 1018816-25-5P 1018816-26-6P  
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 1018816-30-2P 1018816-31-3P 1018816-32-4P  
 1018816-34-6P 1018816-35-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(drug candidate; preparation of oxypyrido[2,3-d]pyrimidines as Lp-PLA2  
 inhibitors for treating atherosclerosis or other inflammatory diseases)

RN 1018816-12-0 CAPLUS

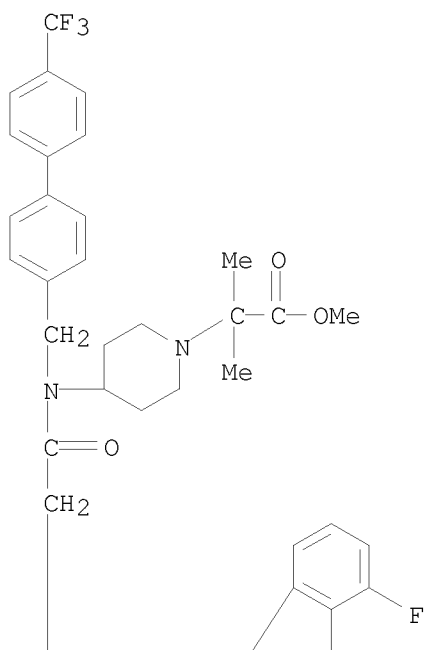
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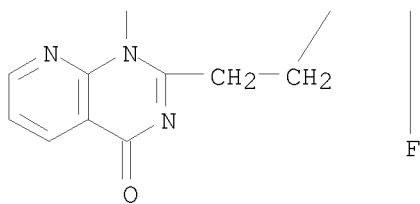
10/510,467

CRN 1018816-11-9  
CMF C41 H40 F5 N5 O4

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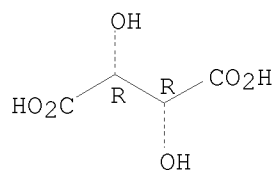
PAGE 2-A



CM 2

CRN 87-69-4  
CMF C4 H6 O6

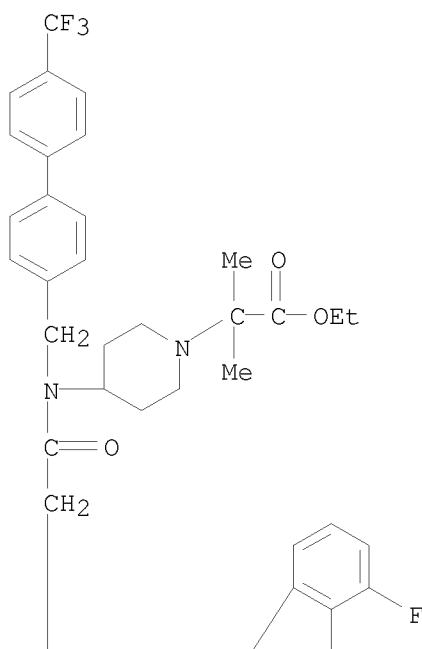
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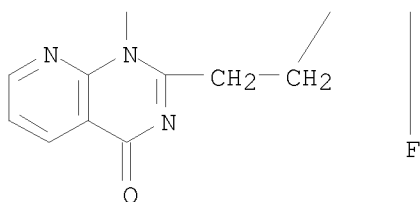
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CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, ethyl ester (CA INDEX NAME)

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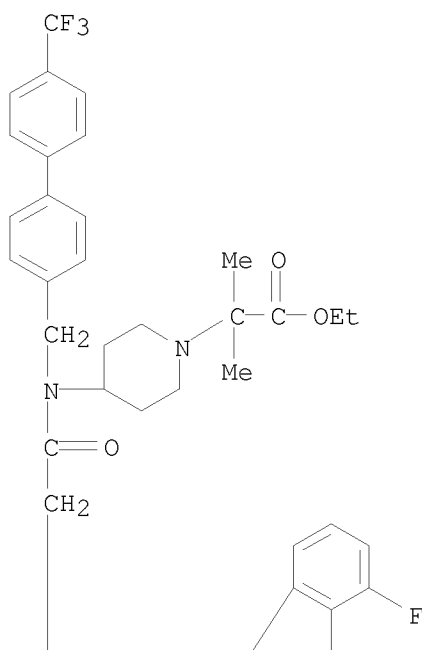
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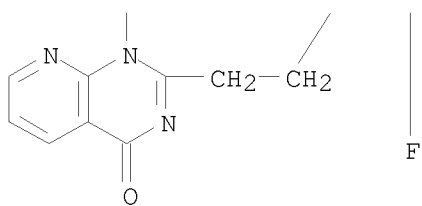
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CRN 1018816-13-1  
 CMF C42 H42 F5 N5 O4

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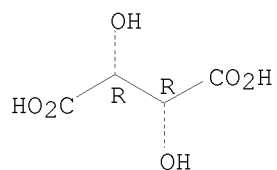


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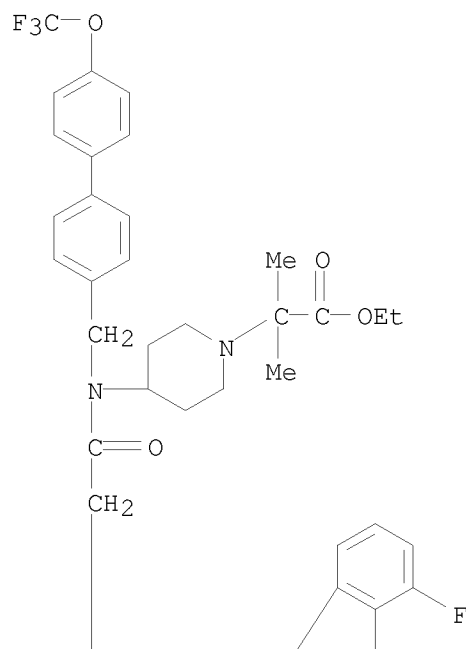
Absolute stereochemistry.

10/510,467

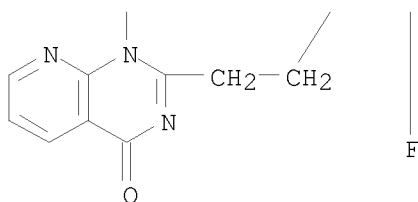


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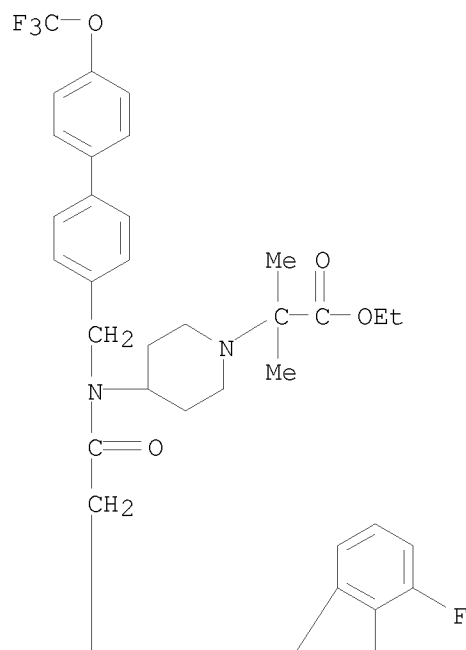


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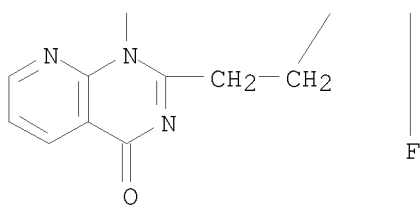
CM 1

CRN 1018816-15-3  
 CMF C42 H42 F5 N5 O5

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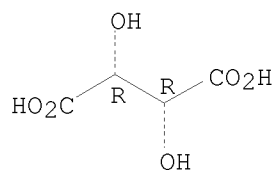
PAGE 2-A



CM 2

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 CMF C4 H6 O6

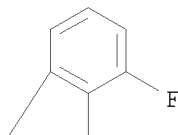
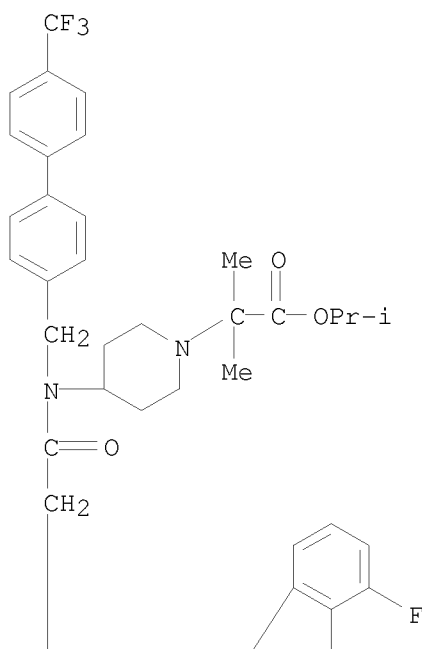
Absolute stereochemistry.



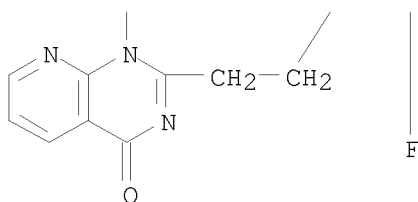
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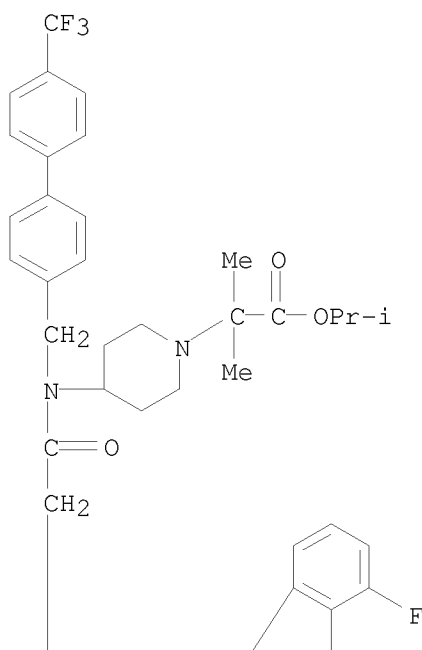
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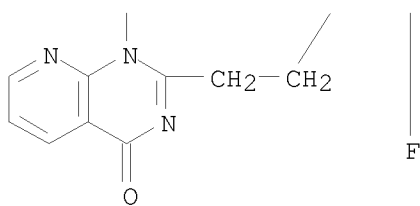
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 CMF C43 H44 F5 N5 O4

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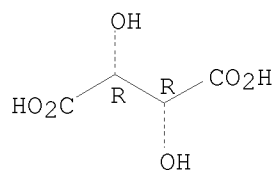
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CM 2

CRN 87-69-4  
 CMF C4 H6 O6

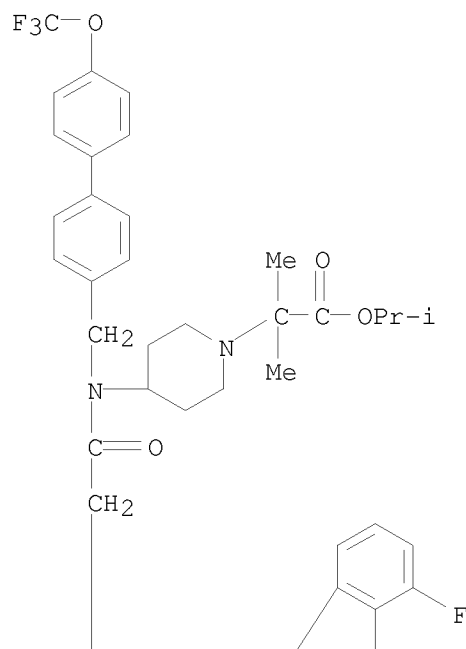
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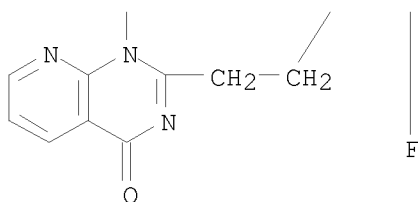
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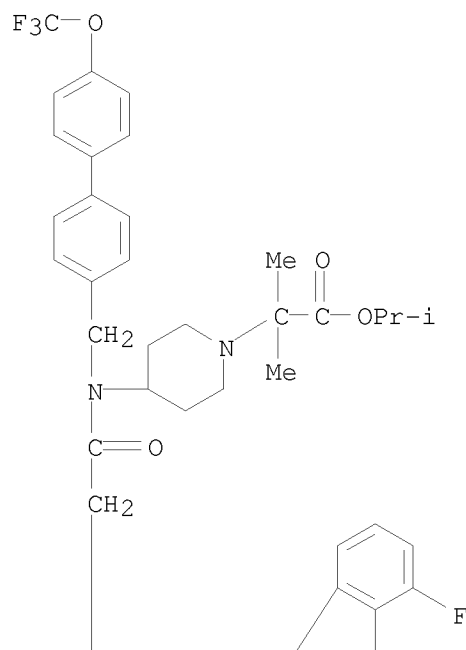
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CN INDEX NAME NOT YET ASSIGNED

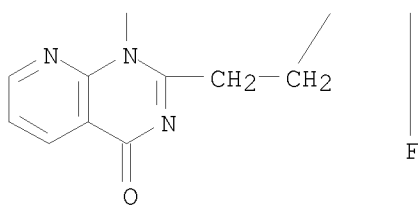
CM 1

CRN 1018816-19-7  
 CMF C43 H44 F5 N5 O5

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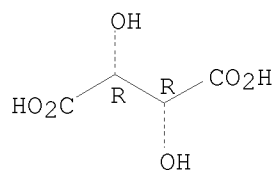
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CM 2

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 CMF C4 H6 O6

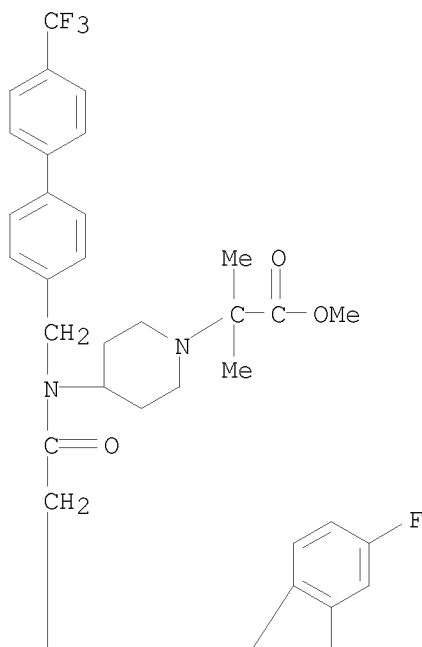
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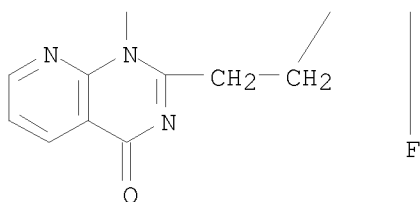
RN 1018816-21-1 CAPLUS

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RN 1018816-22-2 CAPLUS

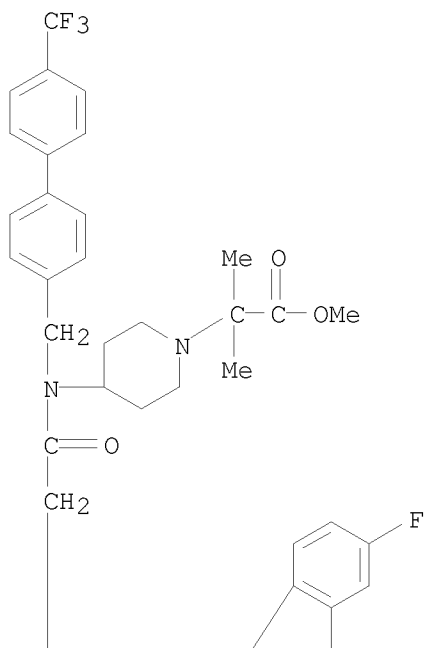
CN INDEX NAME NOT YET ASSIGNED



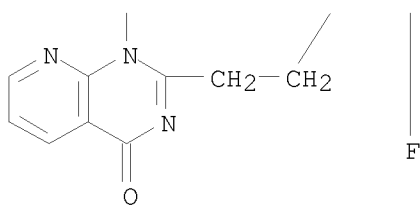
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CRN 1018816-21-1  
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PAGE 2-A

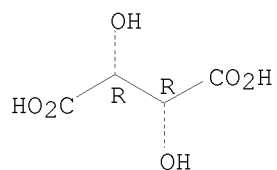


CM 2

CRN 87-69-4  
 CMF C4 H6 O6

Absolute stereochemistry.

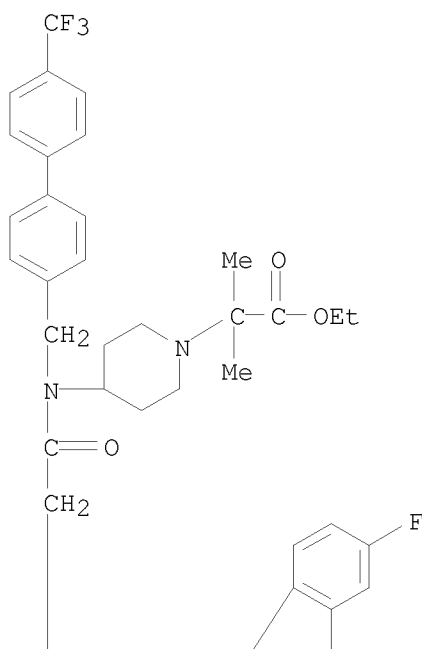
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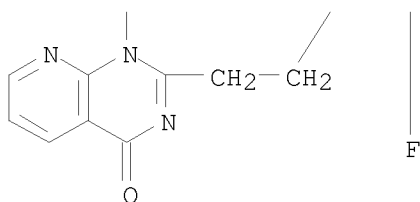
RN 1018816-23-3 CAPLUS

CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,4-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, ethyl ester (CA INDEX NAME)

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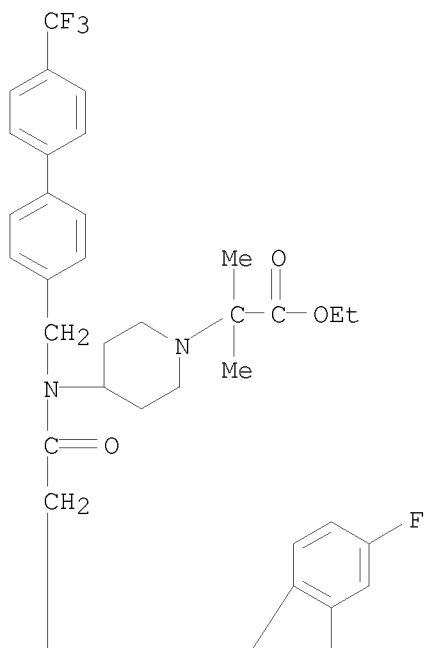
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CN INDEX NAME NOT YET ASSIGNED

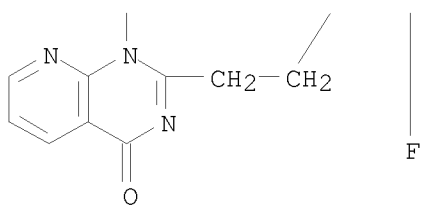
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CRN 1018816-23-3  
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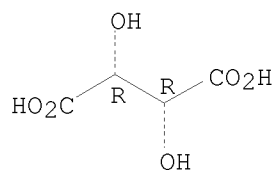
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CM 2

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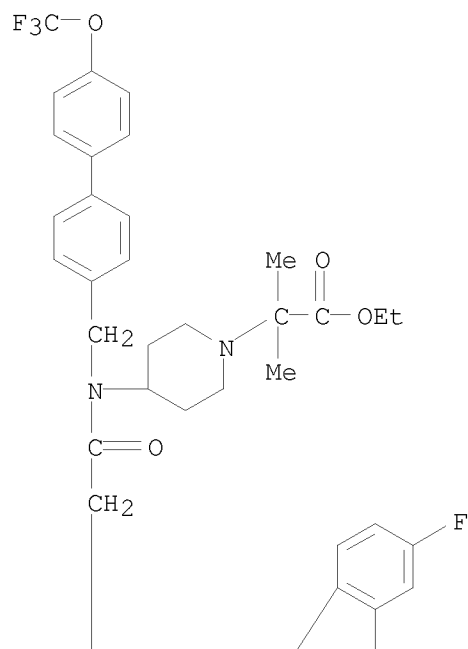
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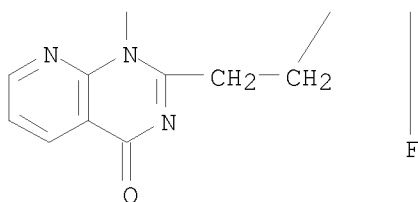
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CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,4-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, ethyl ester (CA INDEX NAME)

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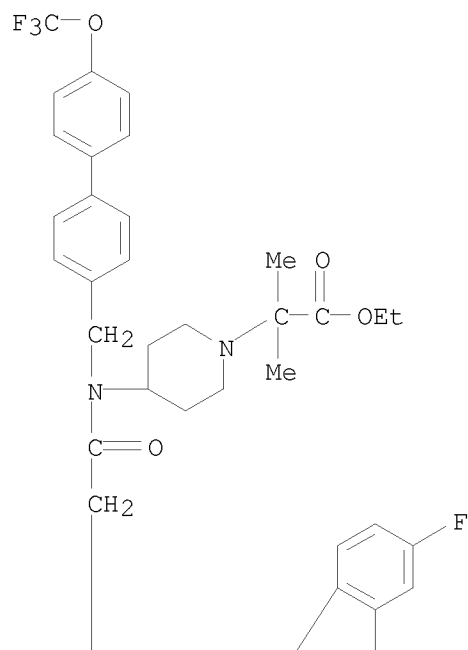
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CN INDEX NAME NOT YET ASSIGNED

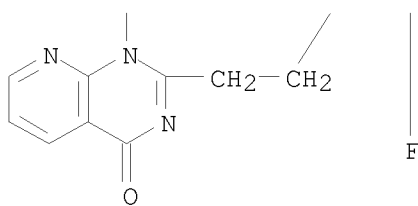
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CRN 1018816-25-5  
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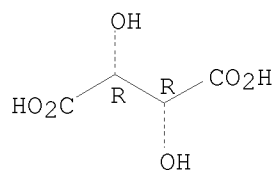
PAGE 2-A



CM 2

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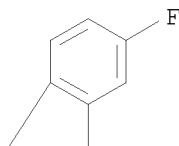
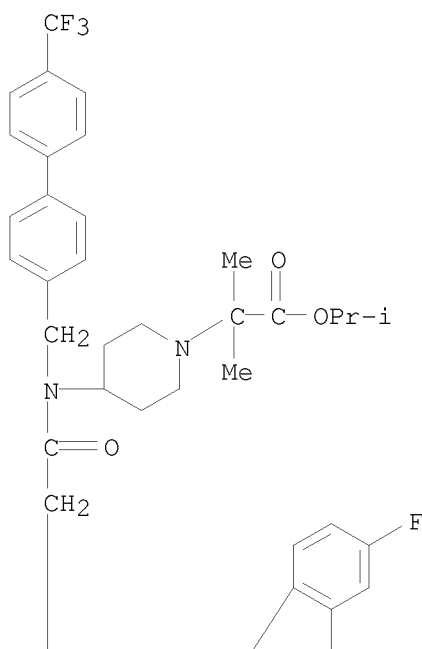
Absolute stereochemistry.



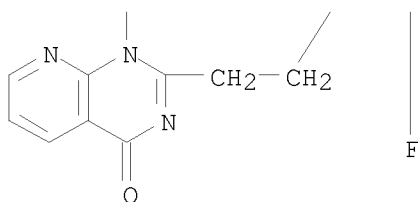
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CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,4-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 1-methylethyl ester (CA INDEX NAME)

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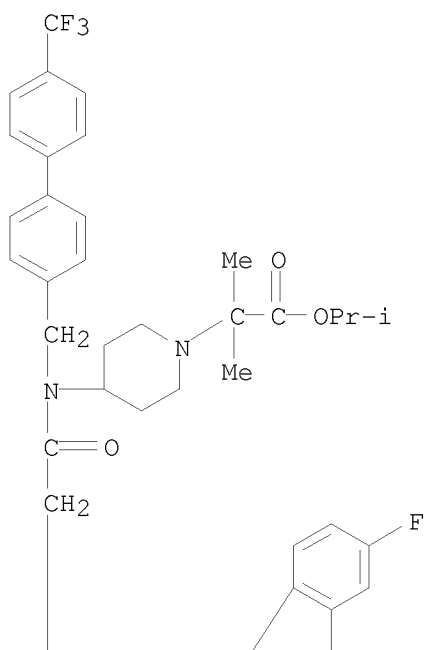
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CN INDEX NAME NOT YET ASSIGNED

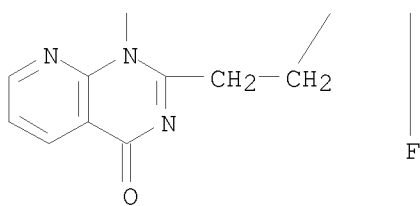
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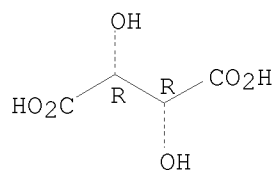
PAGE 2-A



CM 2

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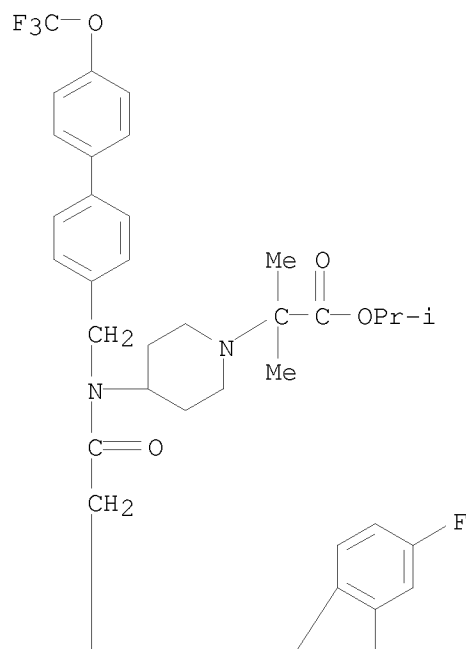
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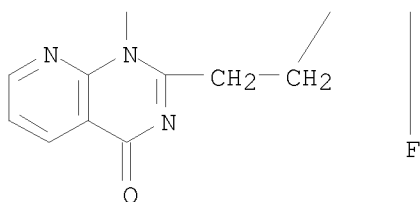
RN 1018816-29-9 CAPLUS

CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,4-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 1-methylethyl ester (CA INDEX NAME)

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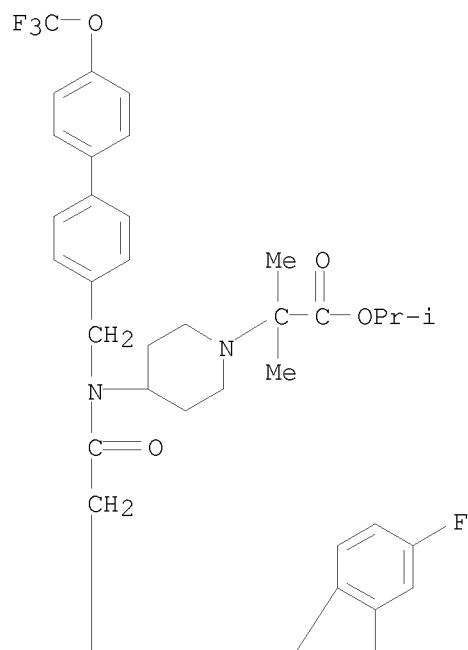
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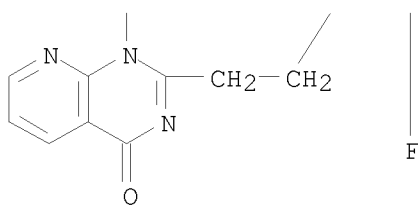
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CRN 1018816-29-9  
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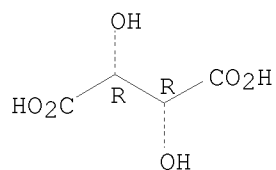
PAGE 2-A



CM 2

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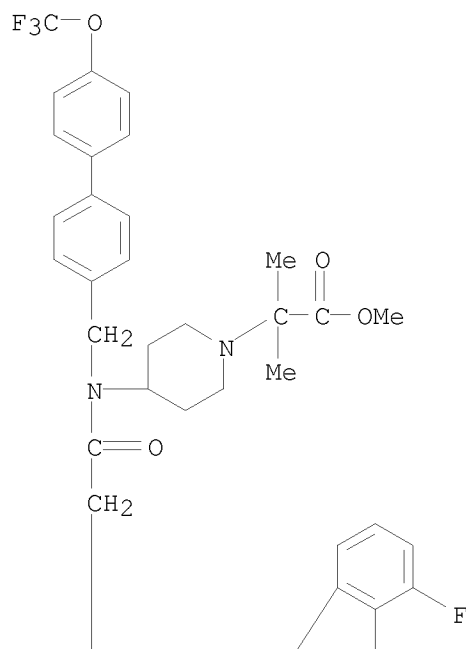
Absolute stereochemistry.



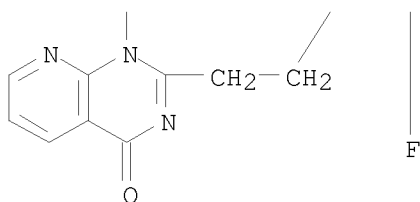
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CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethoxy)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, methyl ester (CA INDEX NAME)

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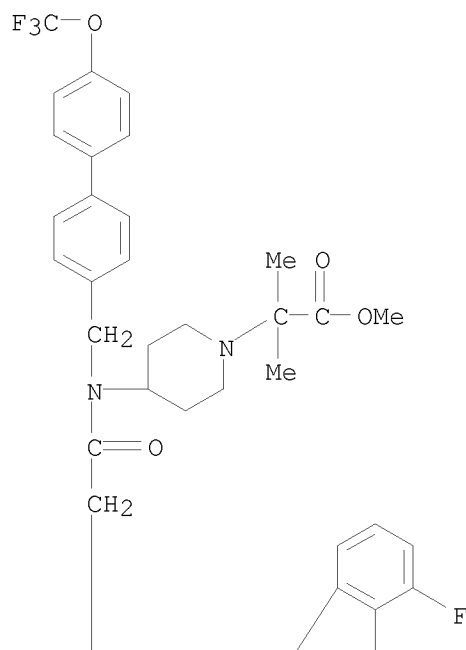
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CN INDEX NAME NOT YET ASSIGNED

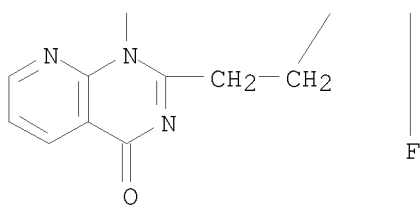
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CRN 1018816-31-3  
 CMF C41 H40 F5 N5 O5

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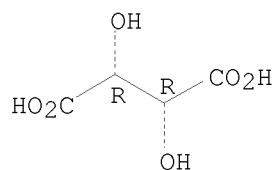
PAGE 2-A



CM 2

CRN 87-69-4  
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Absolute stereochemistry.



RN 1018816-34-6 CAPLUS

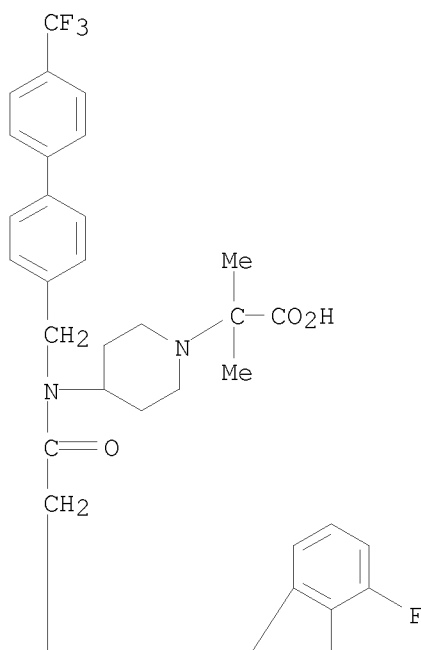
CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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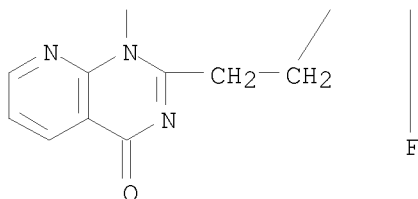
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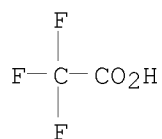
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CM 2

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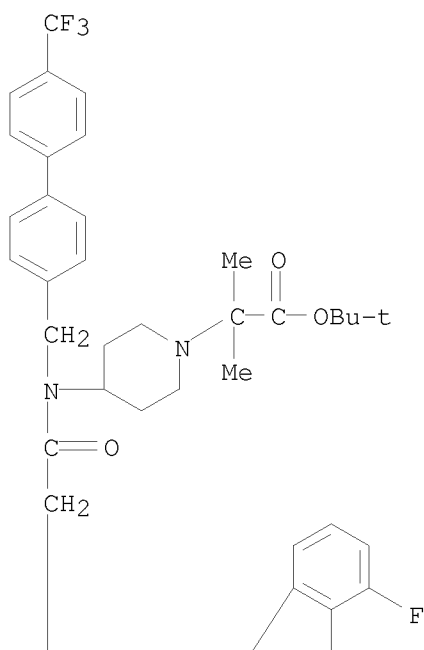
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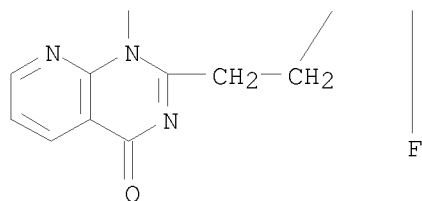


RN 1018816-35-7 CAPLUS

CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxopyrido[2,3-d]pyrimidin-1(4H)-yl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

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L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2008:474667 CAPLUS  
 DN 148:456615  
 TI Bicyclic heteroaromatic compounds, quinazolines and naphthyridines, for  
 treating atherosclerosis or other inflammatory diseases  
 IN Leach, Colin Andrew  
 PA USA  
 SO U.S. Pat. Appl. Publ., 15pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

common inventor

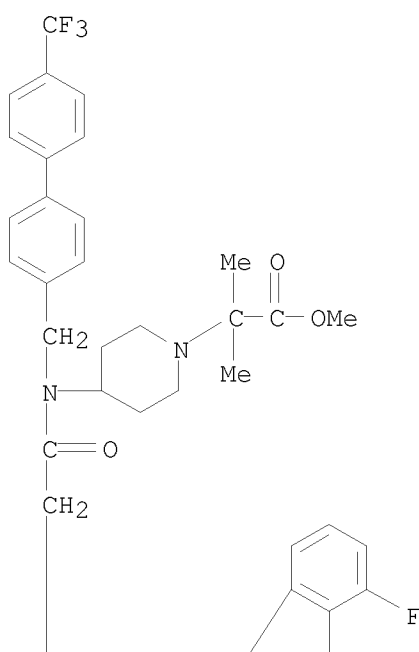
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	WO 2008048866	A2	20080424	WO 2007-US81165	20071012
	W: AE, AG, AL, AM, AT, AU, <del>AX</del> , BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI	US 2006-829328P	P	20061013		
	US 2007-626882	A	20070125		
OS	MARPAT 148:456615				
AB	A compound of formula (I; R1 = aryl, unsubstituted or substituted by 1, 2, 3 or 4 substituents consisting of C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio, aryl C1-C6 alkoxy, hydroxy, halo, CN, COR6, COOR6, NR6COR7, CONR8R9, SO2NR8R9, NR6SO2R7, NR8R9, halo C1-C4 alkyl, halo C1-C4 alkoxy; W, X = CH or N; Y = C2-C4 alkyl, R2 = H, C1-C6 alkyl, C1-C6 alkoxy, C1-C6 alkylthio, aryl C1-C6 alkoxy, hydroxy, halo, CN, COR6, carboxy, COOR6, NR6COR7, CONR8R9, SO2NR8R9, NR6SO2R7, NR8R9, mono to perfluoro-C1-C6 alkyl, or mono to perfluoro-C1-C6 alkoxy.; n = 0-5; R3, R4 = C1-C4 alkyl; R5 = H, C1-C10 alkyl, C2-C10 alkenyl, C2-C10 alkynyl, halo C1-C4 alkyl, C3-C8 cycloalkyl, C3-C8 cycloalkyl, C3-C8 cycloalkyl C1-C4 alkyl, C5-C8 cycloalkenyl, C5-C8 cycloalkenyl C1-C4 alkyl, 3-8-membered heterocycloalkyl, C1-C4 alkyl, C6-C14 aryl, C6-C14 aryl C1-C10 alkyl, heteroaryl, or heteroaryl C1-C10 alkyl, C1-C6 alkylthio, aryl C1-C6 alkoxy, hydroxy, halo, CN, NR8R9, or halo C1-C4alkoxy; R6, R7 = hydrogen or C1-C10 alkyl; R8, R9 = hydrogen or C1-C10 alkyl), or a pharmaceutically acceptable salt thereof. These compds. are useful for treating atherosclerosis or other inflammatory diseases. Thus, the synthesized compds. were tested for lipoprotein associated phospholipase A2 inhibition activity and were found to have IC50 values in the range 0.1 to 10 nM.				
IT	1019657-99-8P 1019658-01-5P 1019658-02-6P 1019658-03-7P 1019658-04-8P 1019658-05-9P 1019658-08-2P 1019658-09-3P 1019658-10-6P 1019658-12-8P 1019658-16-2P 1019658-20-8P 1019658-23-1P 1019658-25-3P 1019658-27-5P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				

(bicyclic heteroarom. compds., quinazolines and naphthyridines, for treating atherosclerosis or other inflammatory diseases)

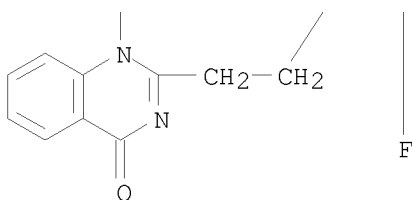
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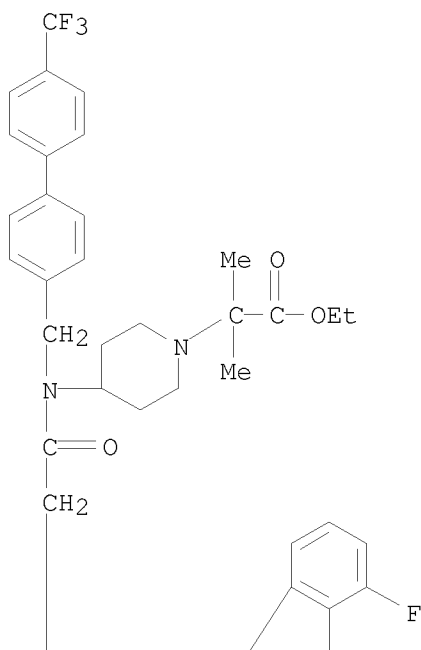


RN 1019658-01-5 CAPLUS

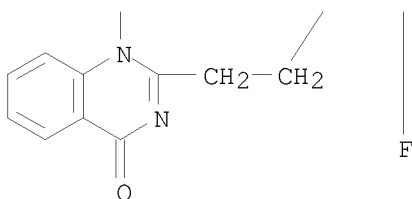
CN 1-Piperidineacetic acid, 4-[[2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxo-1(4H)-quinazolinyl]acetyl][[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]amino]- $\alpha,\alpha$ -dimethyl-, ethyl ester (CA INDEX NAME)



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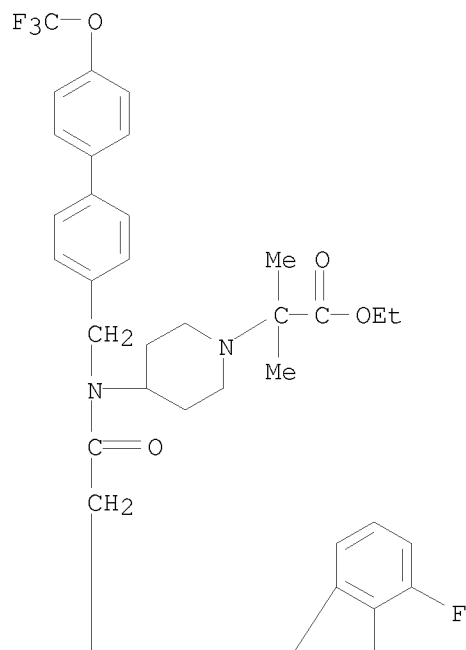


PAGE 2-A

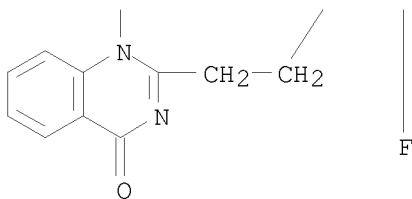


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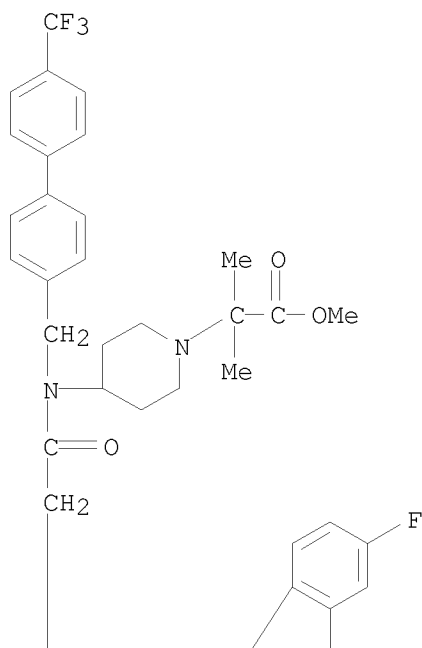


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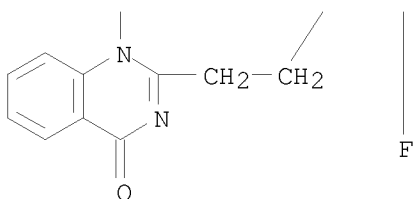


RN 1019658-03-7 CAPLUS  
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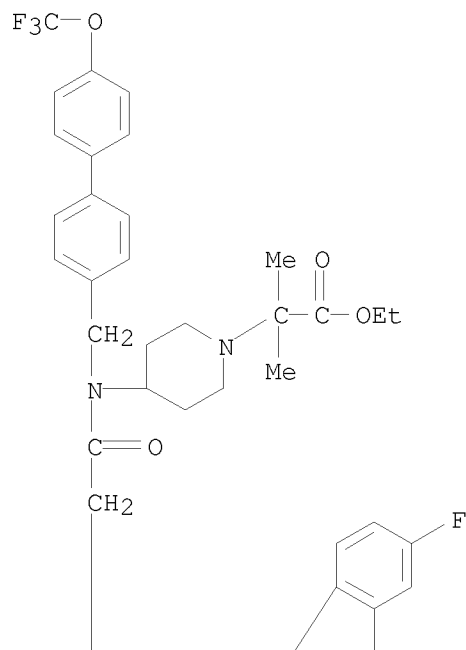


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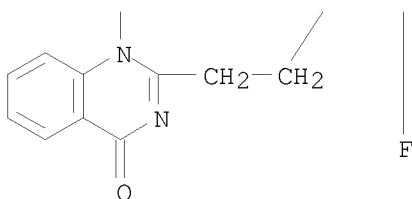


RN 1019658-04-8 CAPLUS  
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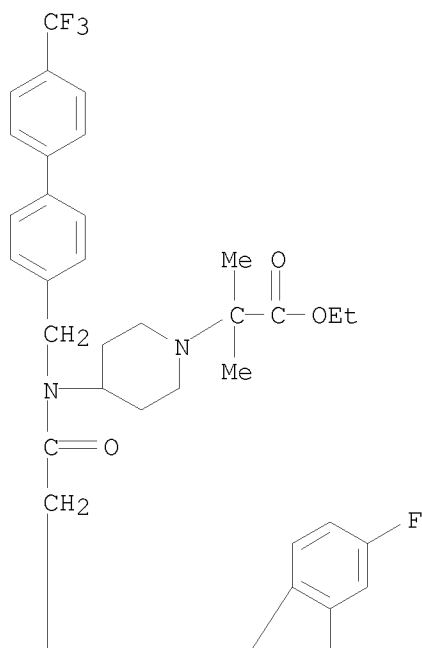


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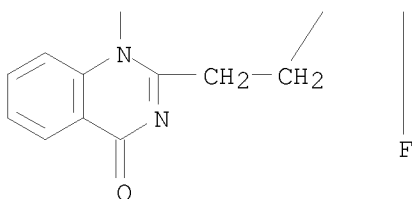


RN 1019658-05-9 CAPLUS  
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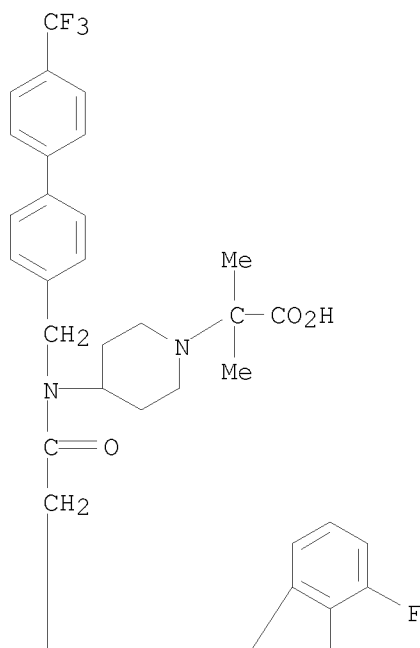


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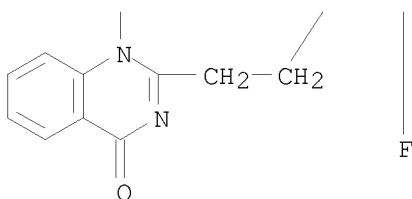


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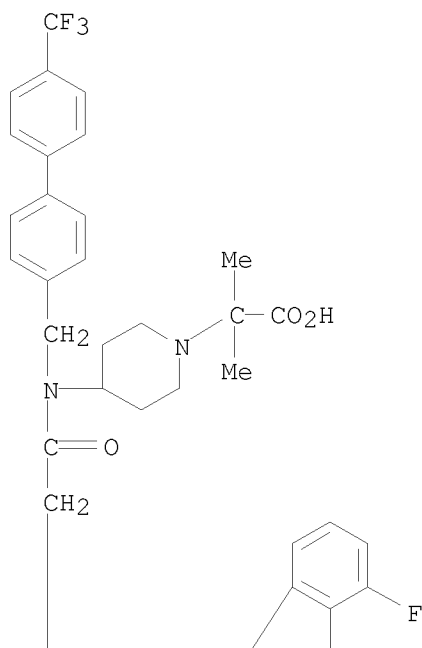


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 (CA INDEX NAME)

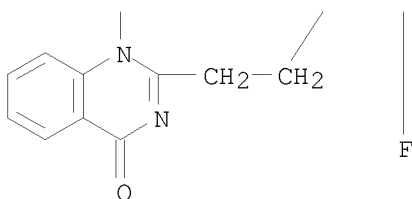
CM 1

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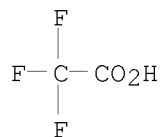


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RN 1019658-10-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

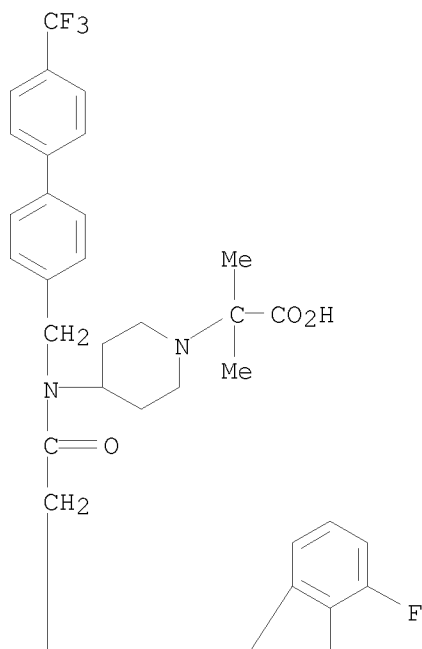
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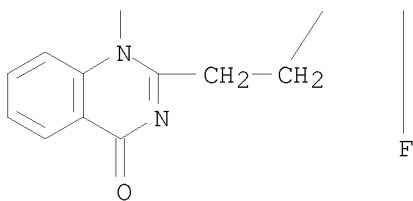
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PAGE 1-A



PAGE 2-A



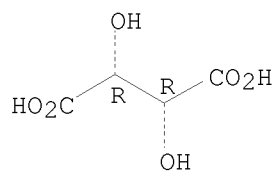
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CRN 87-69-4

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Absolute stereochemistry.



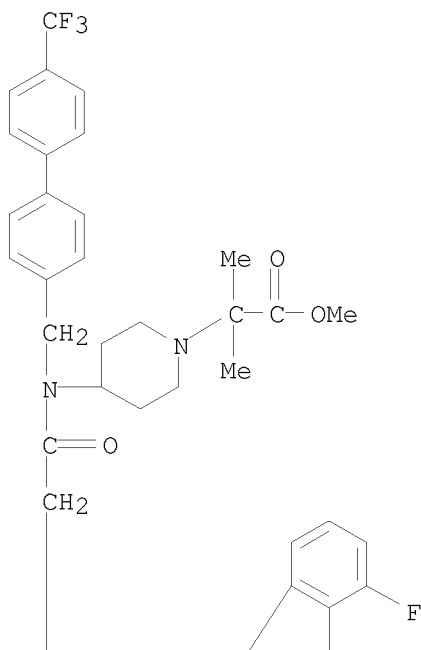


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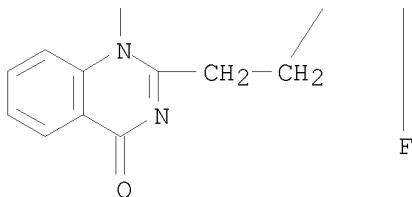
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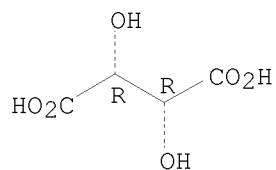
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CM 2

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Absolute stereochemistry.

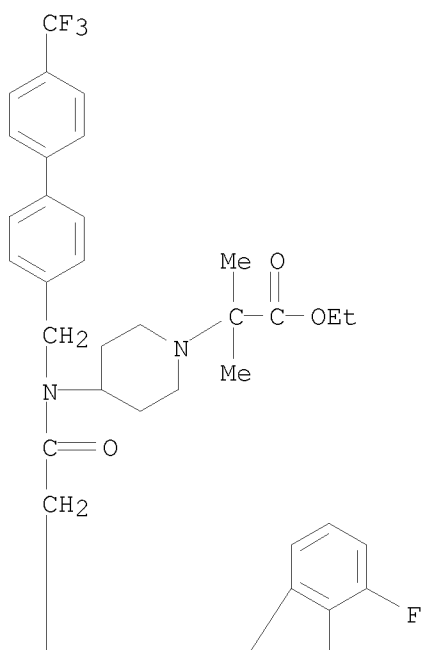


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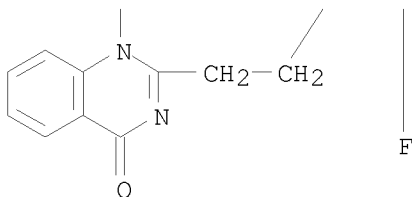
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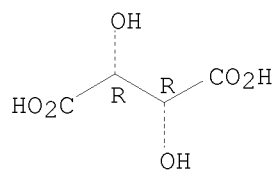


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 1019658-20-8 CAPLUS

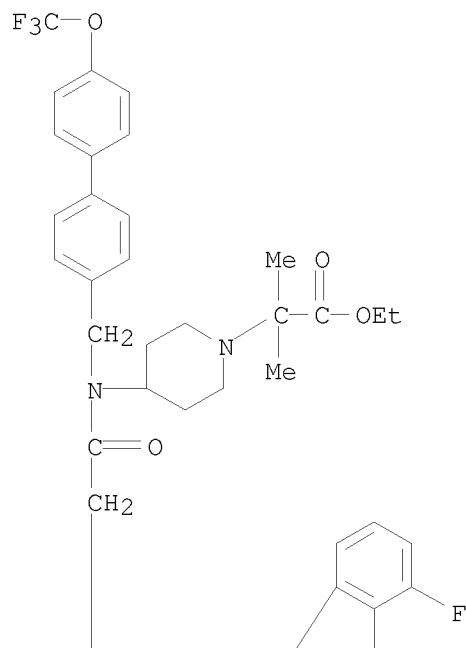
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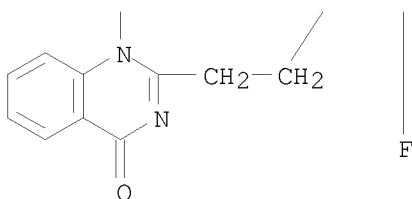
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CMF C43 H43 F5 N4 O5

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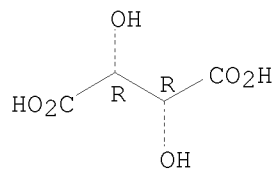
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



RN 1019658-23-1 CAPLUS

10/510,467

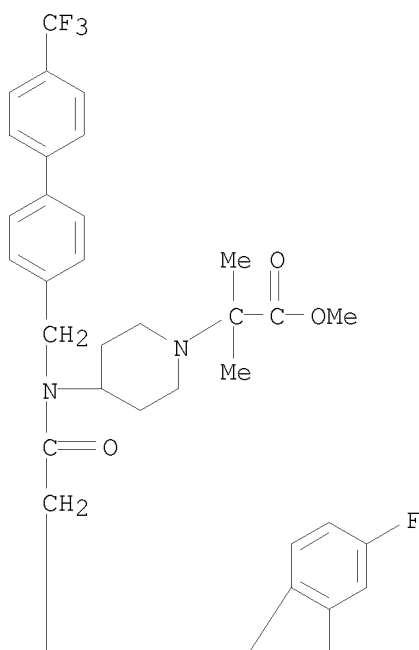
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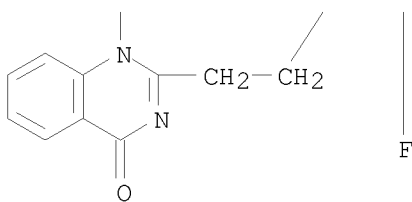
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CMF C42 H41 F5 N4 O4

PAGE 1-A



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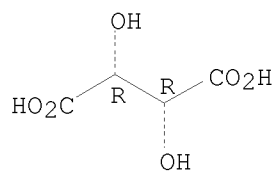
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CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

10/510,467

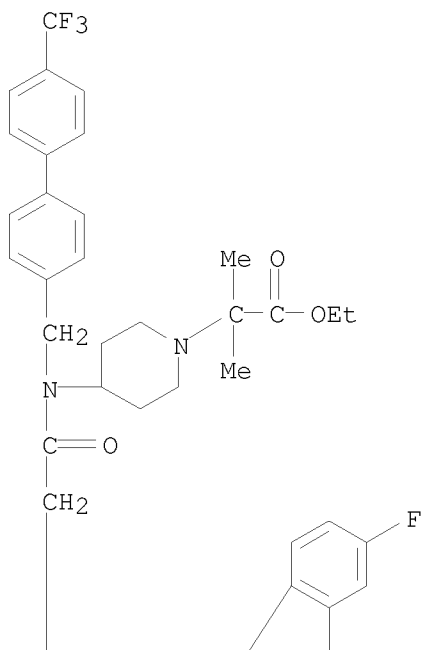


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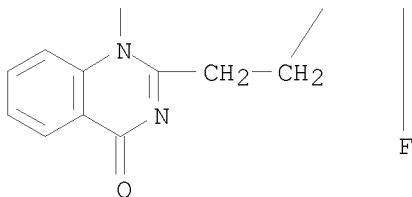
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CMF C43 H43 F5 N4 O4

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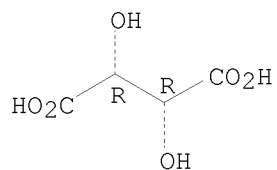
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CM 2

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CMF C4 H6 O6

Absolute stereochemistry.

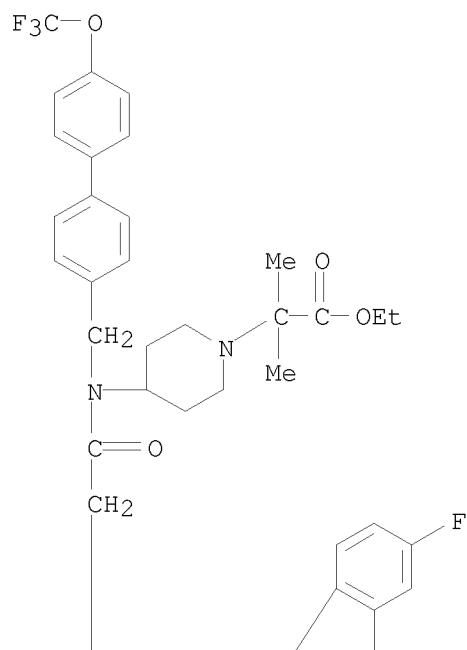


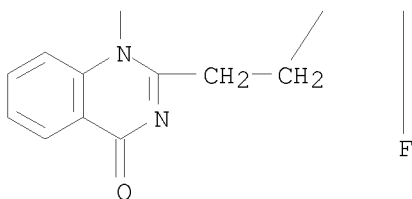
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CN INDEX NAME NOT YET ASSIGNED

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PAGE 1-A



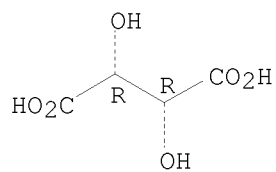


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.





L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2003:836853 CAPLUS  
 DN 139:337978  
 TI Preparation of N-substituted pyridinone and pyrimidinone derivatives for  
 use as Lp-PLA2 inhibitors in the treatment of atherosclerosis  
 IN Leach, Colin Andrew; Smith, Stephen Allan  
 PA Glaxo Group Limited, UK  
 SO PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

Applicant's

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086400	A1	20031023	WO 2003-GB1544	20030410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003217074	A1	20031027	AU 2003-217074	20030410
EP 1492533	A1	20050105	EP 2003-712462	20030410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005533757	T	20051110	JP 2003-583419	20030410
US 20050245552	A1	20051103	US 2005-510467	20050525
PRAI GB 2002-8279	A	20020410		
WO 2003-GB1544	W	20030410		

OS MARPAT 139:337978

AB The title compds. [I; R1 = (un)substituted aryl; R2 = halo, alkyl, alkoxy, etc.; R3 = H, halo, alkyl, hydroxyalkyl; R2 and R3 together with the pyridone or pyrimidinone ring carbons to which they are attached form (un)substituted fused 5-6 membered carbocyclic ring, fused benzo or heteroaryl ring; R4 = alkyl substituted by 5-7 membered saturated heterocyclyl comprising N and optionally O or S; R5 = (un)substituted (hetero)aryl; R6 = (un)substituted (hetero)aryl; X = CH, N; Y = alkylene, CH:CH, (CH2)<sub>n</sub>S; n = 1-3] that are inhibitors of the enzyme Lp-PLA2 and are of use in therapy, in particular for treating atherosclerosis, were prepared Thus, amidation of 2-[2-(2,3-difluorobenzylthio)-4-oxo-4H-quinolin-1-yl]acetic acid with N-(1-thiazol-2-ylmethylpiperidin-4-yl)-4'-trifluoromethylbiphen-4-ylmethylamine (prepns. given) afforded the quinolinone II. The exemplified compds. I showed IC50 values in the range <0.1 to 100 nM against Lp-PLA2.

IT 615577-22-5P 615577-23-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

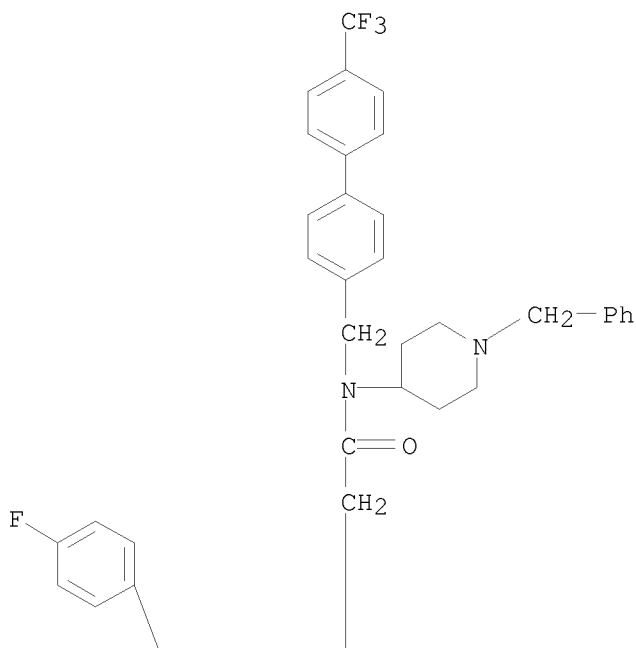
(preparation of pyridinone and pyrimidinone derivs. for use as Lp-PLA2 inhibitors in the treatment of atherosclerosis)

RN 615577-22-5 CAPLUS

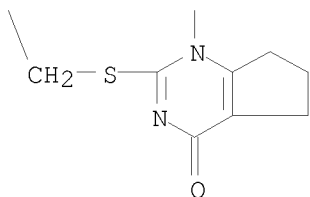
CN 1H-Cyclopentapyrimidine-1-acetamide, 2-[[[(4-fluorophenyl)methyl]thio]-4,5,6,7-tetrahydro-4-oxo-N-[1-(phenylmethyl)-4-piperidinyl]-N-[[4'-

(trifluoromethyl)[1,1'-biphenyl]-4-yl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

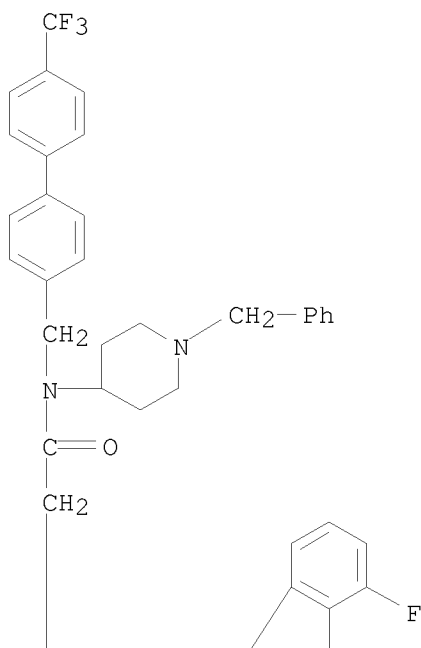


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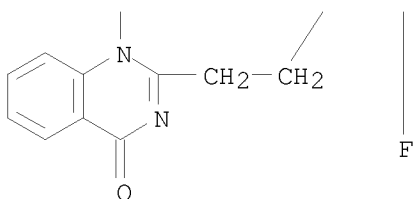


RN 615577-23-6 CAPLUS  
 CN 1(4H)-Quinazolineacetamide, 2-[2-(2,3-difluorophenyl)ethyl]-4-oxo-N-[1-(phenylmethyl)-4-piperidinyl]-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl)methyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2003:154252 CAPLUS

DN 138:205073

TI Preparation of 2,5-substituted 1-(aminocarbonylalkyl)-pyrimidin-4-ones with Lp-PLA2 inhibitory activity for the treatment of atherosclerosis

IN Elliott, Richard Leonard, Leach, Colin Andrew, Smith, Stephen Allan

PA Smithkline Beecham P.L.C., UK

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

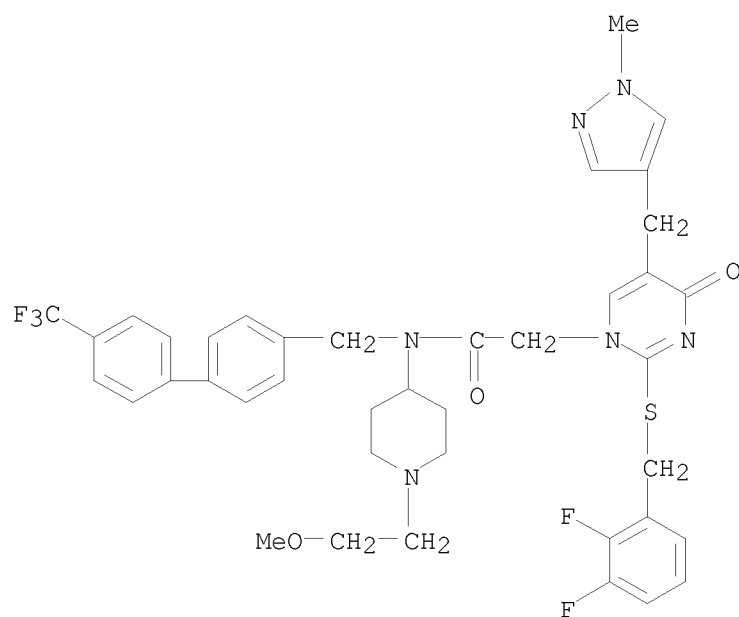
DT Patent

LA English

FAN.CNT 1

common inventor

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002336967	A1	20030303	AU 2002-336967	20020813
PRAI	GB 2001-19793	A	20010814		
	WO 2002-EP9068	W	20020813		
OS	MARPAT 138:205073				
AB	<p>The title compds. [I; R1, R2 = (un)substituted (hetero)aryl; R3 = Het(alkyl) (wherein Het = (un)substituted 5-7 membered heterocyclyl, bonded directly through a ring carbon atom, comprising N atom and optionally O or S); R4 = (un)substituted (hetero)aryl; R5 = (un)substituted aryl; n = 1-4; X = O, S; Z = CR13R14 (R13, R14 = H, alkyl; or R13 and R14 together with the intervening carbon atom form cycloalkyl)] which are inhibitors of lipoprotein-associated phospholipase A2 (Lp-PLA2) and are of use in therapy, in particular for treating atherosclerosis, were prepared Thus, amidation of N-[1-(2-methoxyethyl)piperidin-4-yl]-4-(4-trifluoromethylphenyl)benzylamine with 1-(carboxymethyl)-2-(2,3-difluorobenzylthio)-5-[(1-methylpyrazol-4-yl)methyl]pyrimidin-4-one (preps. given) in the presence of HATU and (iso-Pr)2NH in DMF afforded II. The compds. I described in the examples were tested for Lp-PLA2 inhibition and demonstrated IC50 values in the range 1 to 0.01 nM.</p>				
IT	500132-05-8P 500132-06-9P 500132-08-1P 500132-09-2P				
	<p>RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)</p> <p>(preparation of 2,5-substituted 1-(aminocarbonylalkyl)-pyrimidin-4-ones with Lp-PLA2 inhibitory activity for the treatment of atherosclerosis)</p>				
RN	500132-05-8 CAPLUS				
CN	<p>1(4H)-Pyrimidineacetamide, 2-[[[(2,3-difluorophenyl)methyl]thio]-N-[1-(2-methoxyethyl)-4-piperidinyl]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)</p>				



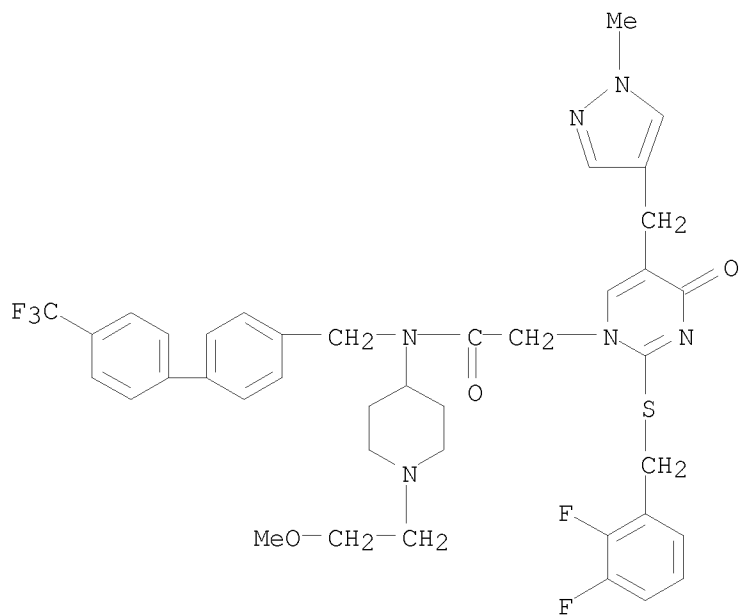
RN 500132-06-9 CAPLUS

CN 1(4H)-Pyrimidineacetamide, 2-[[ (2,3-difluorophenyl)methyl]thio]-N-[1-(2-methoxyethyl)-4-piperidinyl]-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (CA INDEX NAME)

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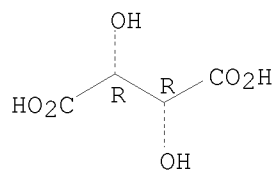


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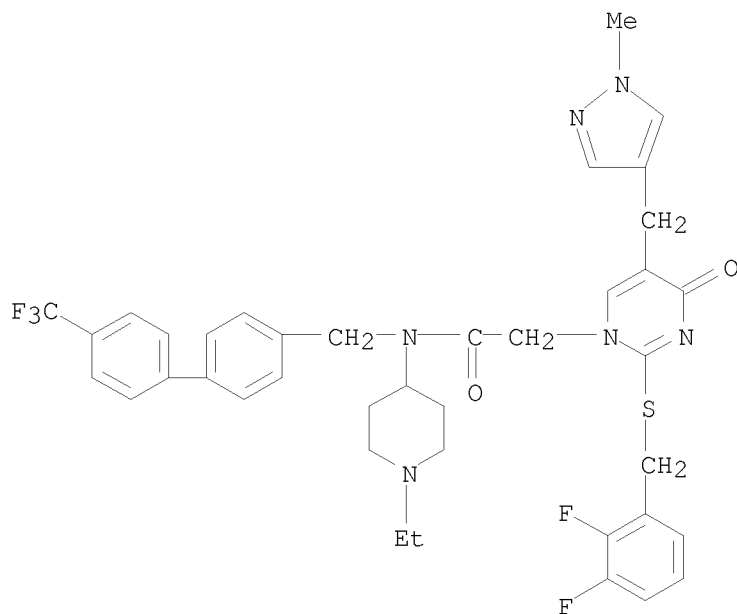
CMF C4 H6 O6

Absolute stereochemistry.



RN 500132-08-1 CAPLUS

CN 1(4H)-Pyrimidineacetamide, 2-[[[(2,3-difluorophenyl)methyl]thio]-N-(1-ethyl-4-piperidiny)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)



RN 500132-09-2 CAPLUS

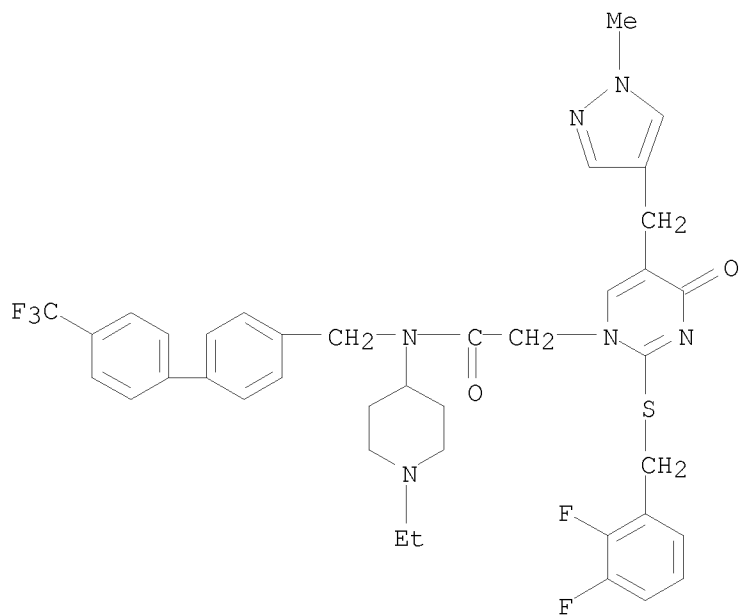
CN 1(4H)-Pyrimidineacetamide, 2-[[ (2,3-difluorophenyl)methyl]thio]-N-(1-ethyl-4-piperidinyl)-5-[(1-methyl-1H-pyrazol-4-yl)methyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 500132-08-1

CMF C39 H39 F5 N6 O2 S

10/510,467

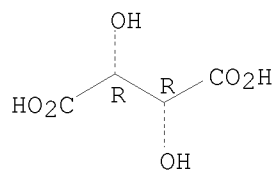


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2002:293633 CAPLUS  
 DN 136:325562  
 TI Preparation of pyrimidinones as lipoprotein associated phospholipase A2 inhibitors for the treatment of atherosclerosis.  
 IN Elliott, Richard Leonard; Hickey, Deirdre Mary Bernadette; Ife, Robert John; Leach, Colin Andrew; Pinto, Ivan Leo; Smith, Stephen Allen  
 PA Smithkline Beecham P.L.C., UK  
 SO PCT Int. Appl., 77 pp.  
 CODEN: PIXXD2  
 DT Patent common inventor  
 LA English  
 FAN.CNT 1

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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2459746	A1	20020418	CA 2001-2459746	20011005
	AU 2002023599	A	20020422	AU 2002-23599	20011005
	EP 1337517	A1	20030827	EP 2001-986682	20011005
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	JP 2004511473	T	20040415	JP 2002-534297	20011005
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	US 7169924	B2	20070130	<span style="border: 1px solid black; padding: 0 2px;">no ODP</span>	
	US 20060241126	A1	20061026	US 2006-456129	<span style="border: 1px solid black; padding: 0 2px;">ODP</span> 20060707
PRAI	GB 2000-24807	A	20001010		
	WO 2001-EP11562	W	20011005		
	US 2003-398977	A3	20030902		

OS MARPAT 136:325562

AB Title compds. [I; R1 = (substituted) aryl; R2 = halo, alkyl, alkoxy, hydroxyalkyl, alkylthio, aminoalkyl, alkylcarboxy, alkylcarbonylaminoalkyl, etc.; R3 = H, halo, alkyl, hydroxyalkyl; R2R3 = atoms to form a (substituted) ring; R4 = H, (substituted) alkyl, heterocyclyl(alkyl); R5, R6 = (substituted) aryl, heteroaryl; X = (substituted) alkylene, CH:CH], were prepared Thus, N-[2-(diethylamino)ethyl]-4-(4-trifluoromethylphenyl)benzylamine (preparation given), 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide, 1-hydroxybenzotriazole hydrate, and 2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxo-4H-quinazolin-1-yl]acetic acid (preparation given) were stirred overnight in CH<sub>2</sub>Cl<sub>2</sub> to give 88% N-(2-diethylaminoethyl)-2-[2-[2-(2,3-difluorophenyl)ethyl]-4-oxo-4H-quinazolin-1-yl]-N-(4'-trifluoromethylbiphen-4-ylmethyl)acetamide bitartrate. Tested I inhibited Lp-PLA2 with IC<sub>50</sub> values in the range of <0.1 nM to 200 nM.

IT 412960-89-5P 412960-90-8P 412961-14-9P  
 412961-15-0P 412961-17-2P 412961-20-7P  
 412961-21-8P 412961-23-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

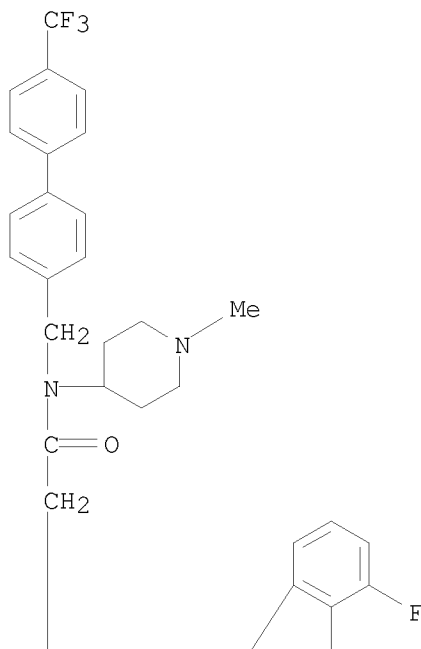
(Uses)

(preparation of pyrimidinones as lipoprotein associated phospholipase A2 inhibitors for the treatment of atherosclerosis)

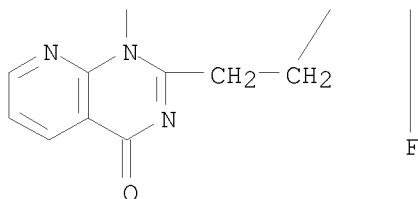
RN 412960-89-5 CAPLUS

CN Pyrido[2,3-d]pyrimidine-1(4H)-acetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-(1-methyl-4-piperidinyl)-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

PAGE 1-A



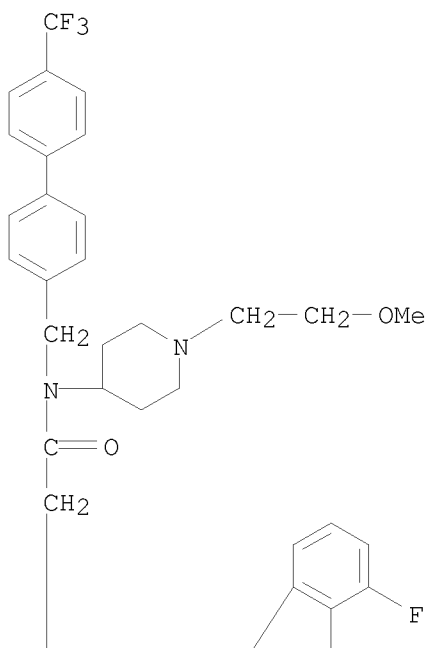
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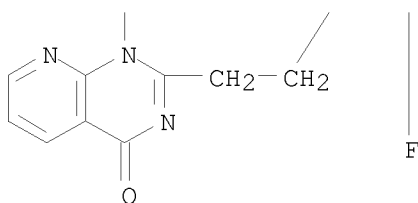
RN 412960-90-8 CAPLUS

CN Pyrido[2,3-d]pyrimidine-1(4H)-acetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-[1-(2-methoxyethyl)-4-piperidinyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (CA INDEX NAME)

PAGE 1-A

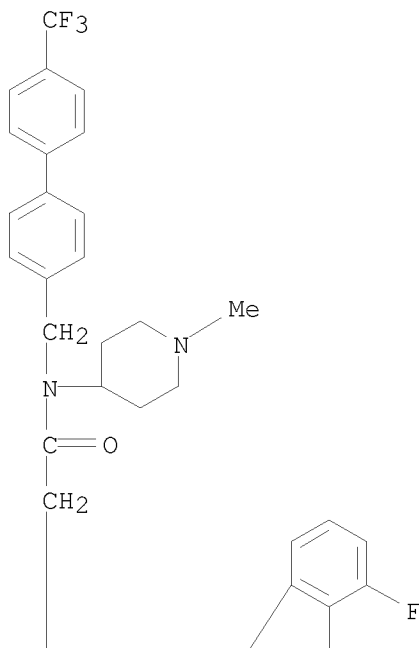


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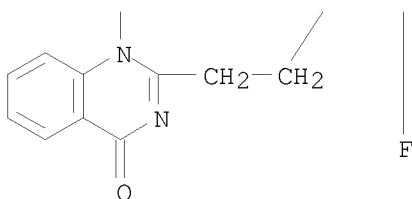


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 (CA INDEX NAME)

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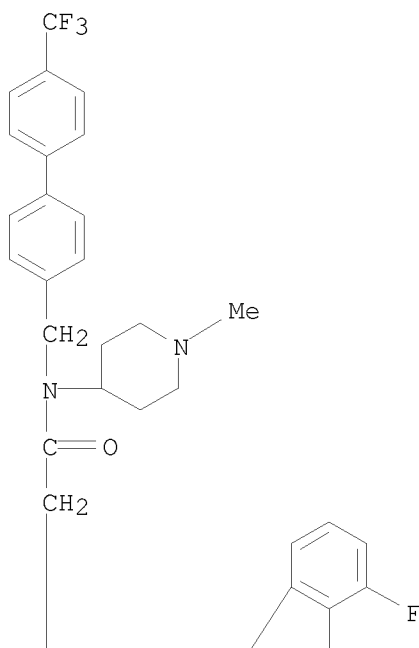


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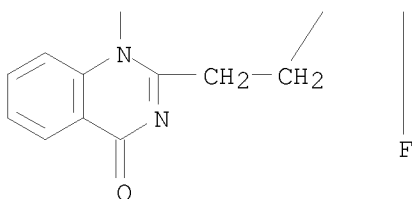
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CRN 412961-14-9  
 CMF C38 H35 F5 N4 O2

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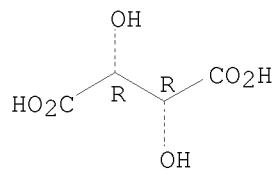
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



RN 412961-17-2 CAPLUS

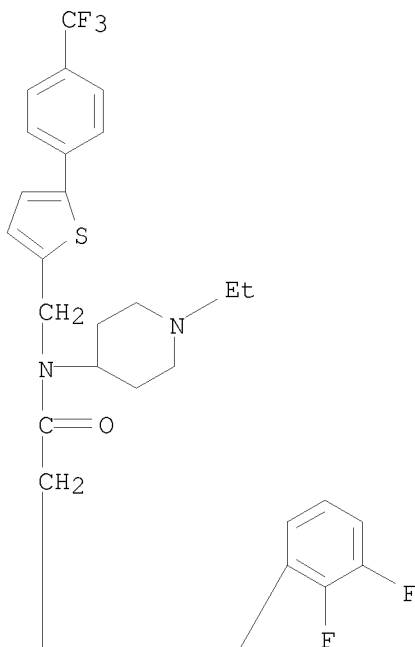
CN 1(4H)-Quinazolineacetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-(1-ethyl-4-piperidinyl)-4-oxo-N-[[5-[4-(trifluoromethyl)phenyl]-2-thienyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

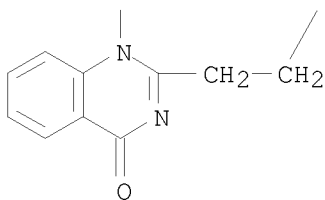
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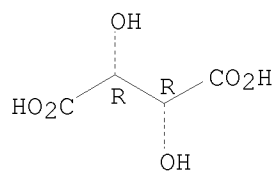


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



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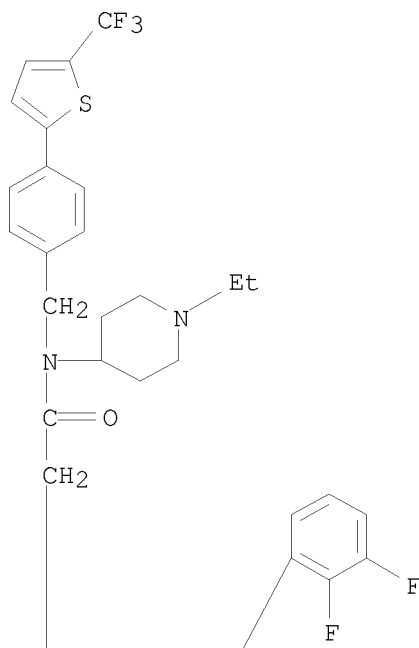
CN 1(4H)-Quinazolineacetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-(1-ethyl-4-piperidiny)-4-oxo-N-[[4-[5-(trifluoromethyl)-2-thienyl]phenyl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

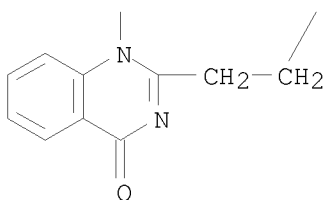
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CMF C37 H35 F5 N4 O2 S

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PAGE 2-A

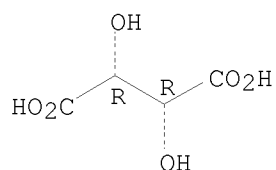


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



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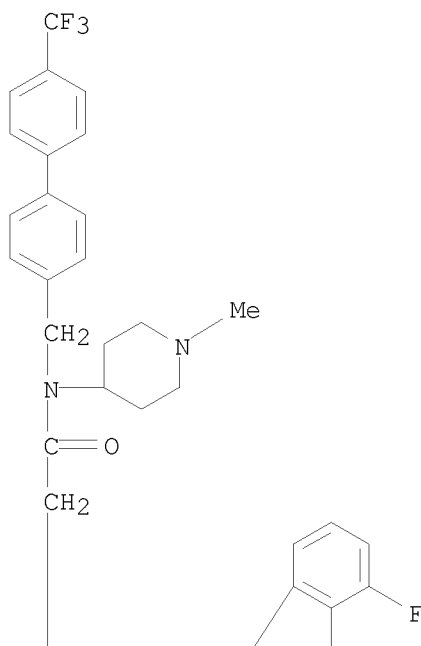
CN Pyrido[2,3-d]pyrimidine-1(4H)-acetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-(1-methyl-4-piperidinyl)-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

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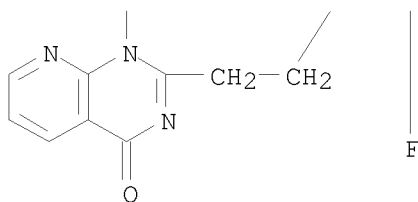
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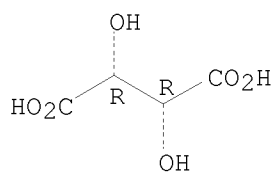


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



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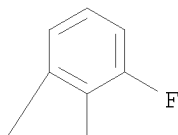
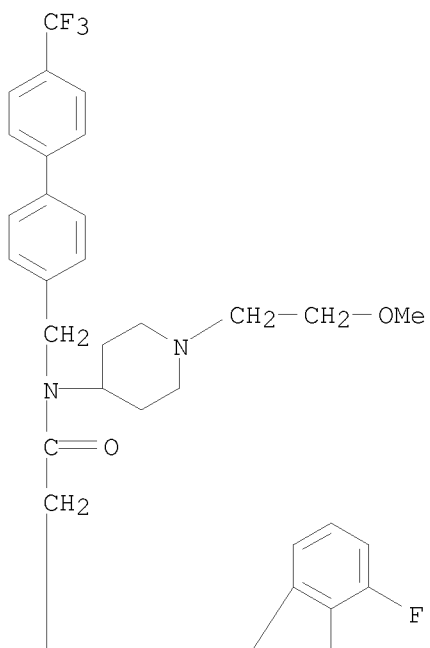
CN Pyrido[2,3-d]pyrimidine-1(4H)-acetamide, 2-[2-(2,3-difluorophenyl)ethyl]-N-[1-(2-methoxyethyl)-4-piperidinyl]-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (CA INDEX NAME)

CM 1

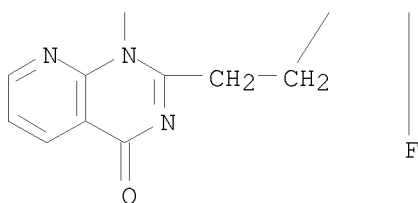
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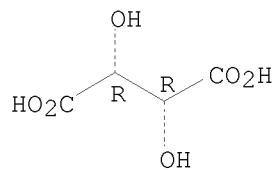
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CM 2

CRN 87-69-4  
CMF C4 H6 O6

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD

10/510,467

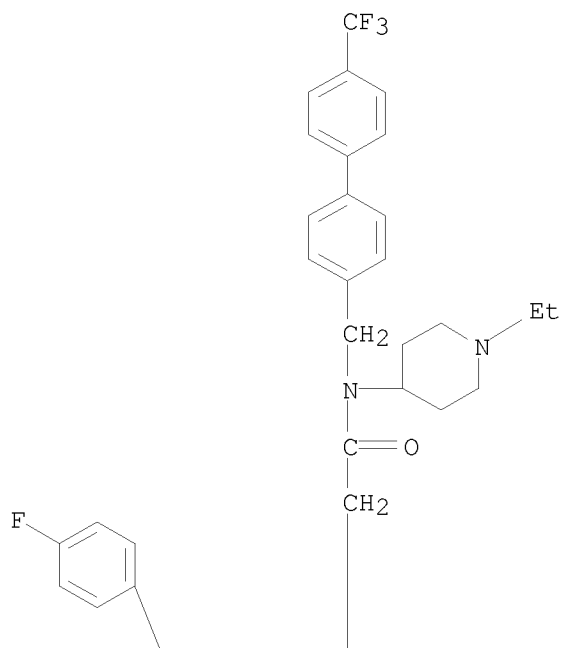
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN  
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 DN 135:195570  
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 IN Hickey, Deirdre Mary Bernadette; Ife, Robert John; Leach, Colin Andrew;  
 Pinto, Ivan Leo; Smith, Stephen Allan; Stanway, Steven James  
 PA Smithkline Beecham P.L.C., UK  
 SO PCT Int. Appl., 54 pp.  
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 DT Patent  
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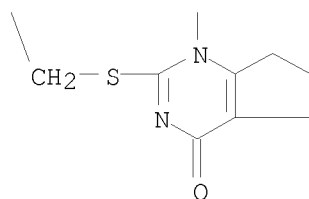
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 OS      MARPAT 135:195570  
 AB      The title compds. [I; Ra = H, halo, alkyl, etc.; Rb = H, halo, alkyl, etc.; Ra and Rb together = (CH<sub>2</sub>)<sub>n</sub> (n = 3-4) or Ra and Rb together with the pyrimidine ring carbon atoms to which they are attached form (un)substituted fused benzo or heteroaryl ring; Rc = H, alkyl; R2 = (un)substituted (hetero)aryl; R3 = H, alkyl, halo, etc.; R4 = (un)substituted (hetero)arylene; R5 = (un)substituted (hetero)aryl; n = 1-4; X = O, S; Y = (CH<sub>2</sub>)<sub>p</sub>O<sub>q</sub> (p = 1-3 and q = 0; p = 2-3 and q = 1); Z = O, a bond] which are inhibitors of the enzyme Lp-PLA2 useful in treating atherosclerosis, were prepared. Thus, reacting N-[2-(diethylamino)ethyl]-4-(4-trifluoromethylphenyl)benzylamine with 1-(carboxymethyl)-2-(4-fluorobenzylthio)-5-ethylpyrimidin-4-one in the presence of HATU and (iso-Pr)<sub>2</sub>NEt in CH<sub>2</sub>Cl<sub>2</sub> afforded the pyrimidinone II. The compds. I described in Examples were tested for Lp-PLA2 inhibition and showed IC<sub>50</sub> values in the range <0.1 nM to 10 μM.  
 IT      356057-98-2P 356058-05-4P 356058-06-5P  
      356058-07-6P  
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
      (preparation of pyrimidine-4-one derivs. as LDL-PLA2 inhibitors)  
 RN      356057-98-2      CAPLUS  
 CN      1H-Cyclopentapyrimidine-1-acetamide, N-(1-ethyl-4-piperidinyl)-2-[[4-(4-fluorophenyl)methyl]thio]-4,5,6,7-tetrahydro-4-oxo-N-[[4'-(trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI)      (CA INDEX NAME)

PAGE 1-A

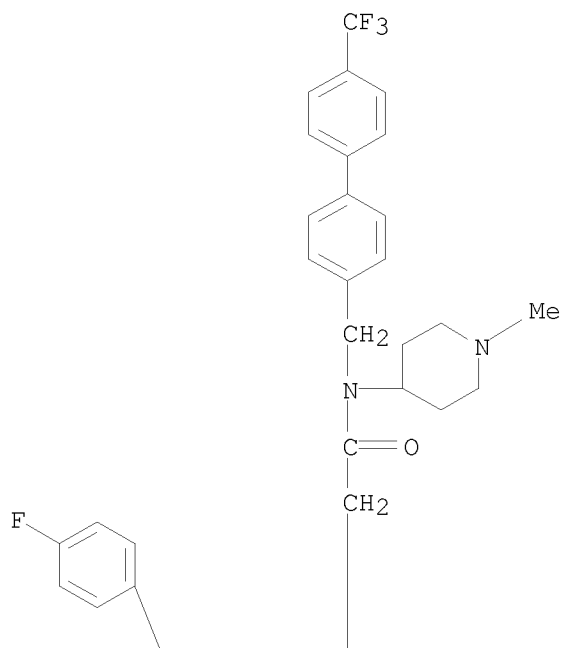


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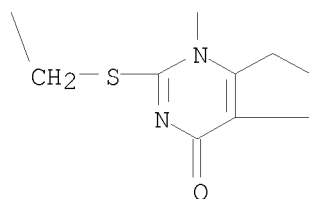


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 (trifluoromethyl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)

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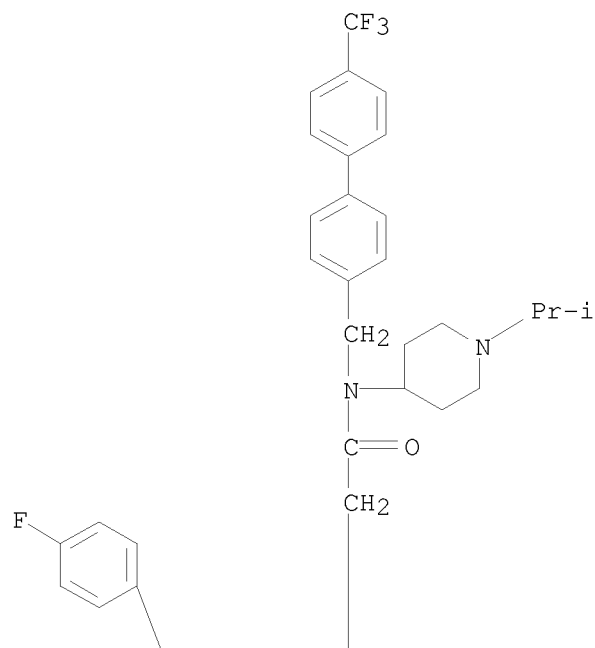


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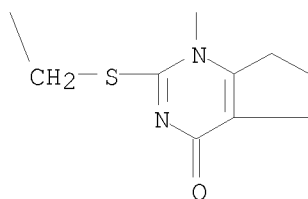


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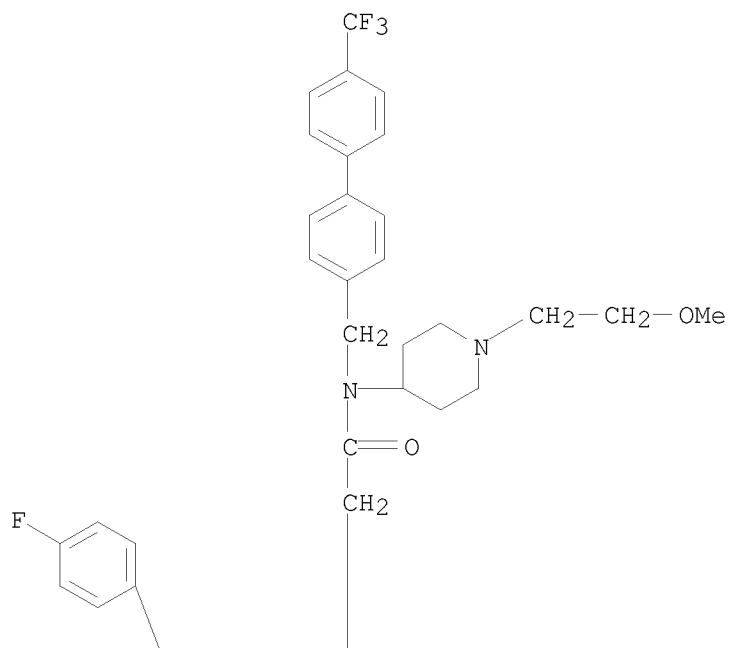
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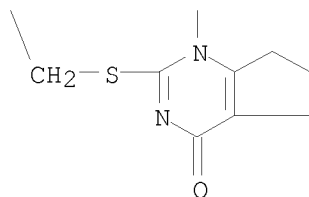
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 (trifluoromethyl)[1,1'-biphenyl]-4-yl)methyl]- (9CI) (CA INDEX NAME)



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PAGE 2-A



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

38.63

217.66

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-5.60

-5.60

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